Ngrazier ~~10467407amend~~ 10/509,633

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NEWS 5	DEC 14	2006 MeSH terms loaded for MEDLINE file segment of TOXCENTER
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NEWS 7	DEC 21	IPC search and display fields enhanced in CA/CAplus with the IPC reform
NEWS 8	DEC 23	New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/ USPAT2
NEWS 9	JAN 13	IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS 10	JAN 13	New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to INPADOC
NEWS 11	JAN 17	Pre-1988 INPI data added to MARPAT
NEWS 12	JAN 17	IPC 8 in the WPI family of databases including WPIFV
NEWS 13	JAN 30	Saved answer limit increased
NEWS 14	JAN 31	Monthly current-awareness alert (SDI) frequency added to TULSA

NEWS EXPRESS JANUARY 03 CURRENT VERSION FOR WINDOWS IS V8.01,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 USERS CAN OBTAIN THE UPGRADE TO V8.01 AT
http://download.cas.org/express/v8.0-Discover/

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* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:27:44 ON 01 FEB 2006

=> fil reg

Ngrazier 10467487amend

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:27:49 ON 01 FEB 2006
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STRUCTURE FILE UPDATES: 31 JAN 2006 HIGHEST RN 873191-05-0
DICTIONARY FILE UPDATES: 31 JAN 2006 HIGHEST RN 873191-05-0

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**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information.  *
*                                                                    *
**********************************************************************
```

Structure search iteration limits have been increased. See HELP SLIMITS
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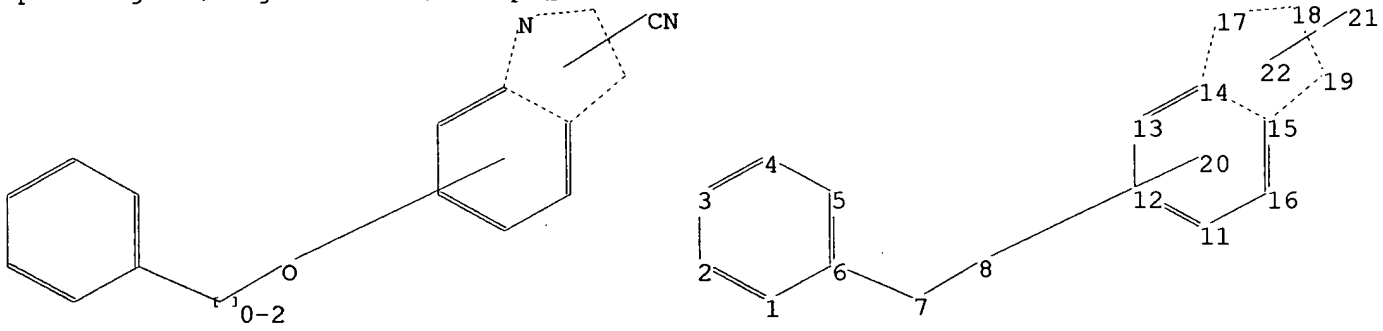
REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10509633amend.str



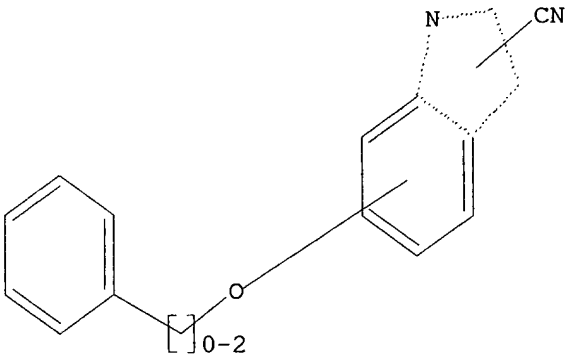
chain nodes :
7 8 21
ring nodes :
1 2 3 4 5 6 11 12 13 14 15 16 17 18 19
chain bonds :

6-7 7-8
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 14-17 15-16 15-19
17-18 18-19
exact/norm bonds :
7-8 14-15 14-17 15-19 17-18 18-19
exact bonds :
6-7
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 15-16

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 11:Atom 12:Atom
13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:CLASS 21:CLASS
22:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
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SAMPLE SCREEN SEARCH COMPLETED - 2608 TO ITERATE

76.7% PROCESSED 2000 ITERATIONS 5 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 49097 TO 55223
PROJECTED ANSWERS: 5 TO 283

L2 5 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 14:29:09 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 51962 TO ITERATE

100.0% PROCESSED 51962 ITERATIONS 86 ANSWERS
SEARCH TIME: 00.00.01

L3 86 SEA SSS FUL L1

=> fil hcaplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	167.38	167.59

FILE 'HCAPLUS' ENTERED AT 14:29:17 ON 01 FEB 2006
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FILE COVERS 1907 - 1 Feb 2006 VOL 144 ISS 6
FILE LAST UPDATED: 31 Jan 2006 (20060131/ED)

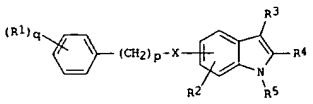
New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 21 L3

=> d ed abs ibib hitstr 1-21

L4 ANSWER 1 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 10 Oct 2003
GI



I

AB The invention relates to the use of a compound of formula (I) [R1 = independently halo, HO or its ester, (un)substituted NH2, alkanoylamino, OPO3H2, C1-4 alkoxy; X = O, S, SO, SO2; R2 = H, C1-4 alkyl, C1-4 alkoxy; R3, R4 = H, C1-4 alkyl, C1-4 alkanoyl, C1-4 alkoxycarbonyl, C1-4 alkoxycarbonyl-C1-4 alkyl, C1-4 alkoxycarbonylamino, optionally alkylated amino, amino-C1-4 alkyl, CONH2, carbamoyl-C1-4 alkyl, cyano, cyano-C1-4 alkyl, HO, hydroxy-C1-4 alkyl; R5 = H, C1-4 alkyl, a group of formula (CH2)tCO-Y-(CH2)r-Z-R8 (wherein Y = NH, O or a bond; Z = NH, O, CO, a bond; r = an integer from 0 to 4; t = 0, 1; R8 = H, C1-4 alkyl, C1-4 alkoxy, each (un)substituted aryl, 5- or 6 membered heterocyclyl, 5- or 6-membered heteroaryl); p = 0, 1; q = an integer from 0 to 3; with the proviso that: (i) when R3 is cyano then R4 cannot be an (un)substituted amino, and (ii) when q is 0, R3 is cyano and X is S then R4 is other than amino) or a salt, prodrug or solvate thereof, for the manufacture of a medicament to inhibit and/or reverse and/or alleviate symptoms of angiogenesis and/or any disease state associated with angiogenesis. The invention also relates to use of compds. I as medicaments and also to novel compds. I. The invention further provides pharmaceutical comprns. of compds. I and processes for the synthesis of compds. I. A subset of the compds. I, e.g. 3-cyano-5-phenylsulfanyl-1H-indole, 3-cyano-5-phenoxy-1H-indole, 3-cyano-5-(4-hydroxyphenoxy)-1H-indole, 2-cyano-5-benzyloxy-1H-indole, 1-methyl-3-cyano-5-(4-hydroxy-3,5-dimethoxyphenoxy)-1H-indole, and 1-methyl-3-cyano-5-(4-phosphonoxy-3,5-dimethoxyphenoxy)-1H-indole, are also claimed.

ACCESSION NUMBER: 2003:796476 HCAPLUS
DOCUMENT NUMBER: 139:307677
TITLE: Preparation of indole derivatives for use as angiogenesis inhibitors
INVENTOR(S): Arnould, Jean Claude
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

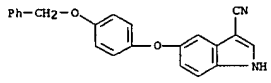
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082271	A2	20031009	WO 2003-GB1405	20030331
WO 2003082271	A3	20040325		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1515716 A2 20050323 EP 2003-710036 20030331
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
US 2005159474 A1 20050721 US 2003-509633 20030331
JP 2005532280 T2 20051027 JP 2003-579809 20030331
PRIORITY APPLN. INFO.: EP 2002-290822 A 20020403
WO 2003-GB1405 W 20030331
OTHER SOURCE(S): MARPAT 139:307677

IT **611228-75-2P 611228-77-4P 611228-80-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of indole derivs. for medicament to inhibit and/or reverse and/or alleviate symptoms of angiogenesis and/or any disease state associated with angiogenesis)

RN 611228-75-2 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-[4-(phenylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



RN 611228-77-4 HCAPLUS
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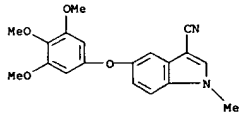
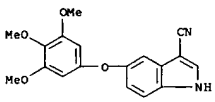
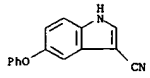


RN 611228-80-9 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-(3,4,5-trimethoxyphenoxy)- (9CI) (CA INDEX NAME)



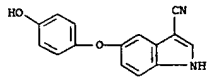
IT **611228-46-7P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of indole derivs. for medicament to inhibit and/or reverse and/or alleviate symptoms of angiogenesis and/or any disease state associated with angiogenesis)

RN 611228-46-7 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-phenoxy- (9CI) (CA INDEX NAME)

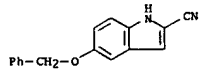


IT **611228-50-3P 611228-52-5P 611228-53-6P 611228-54-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. for medicament to inhibit and/or reverse and/or alleviate symptoms of angiogenesis and/or any disease state associated with angiogenesis)

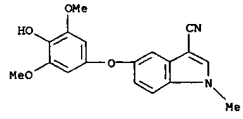
RN 611228-50-3 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-(4-hydroxyphenoxy)- (9CI) (CA INDEX NAME)



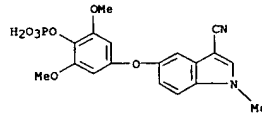
RN 611228-52-5 HCAPLUS
CN 1H-Indole-2-carbonitrile, 5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 611228-53-6 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-(4-hydroxy-3,5-dimethoxyphenoxy)-1-methyl- (9CI) (CA INDEX NAME)

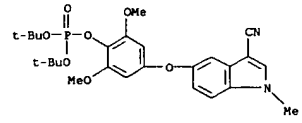


RN 611228-54-7 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-[3,5-dimethoxy-4-(phosphonooxy)phenoxy]-1-methyl- (9CI) (CA INDEX NAME)



IT **611228-55-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indole derivs. for medicament to inhibit and/or reverse and/or alleviate symptoms of angiogenesis and/or any disease state associated with angiogenesis)

RN 611228-55-8 HCAPLUS
CN Phosphoric acid, 4-[(3-cyano-1-methyl-1H-indol-5-yl)oxy]-2,6-dimethoxyphenyl bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 07 Oct 2003
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

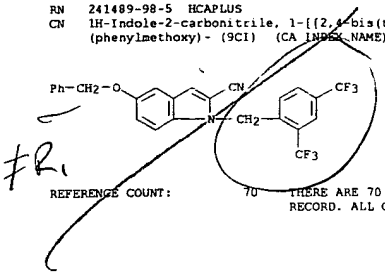
AB The indole derivs. (I), (II), and (III) [where A = CH2 or CH2CH2; B = (CH2)n, (CH2O)n, (CH2S)n, (OCH2)n, (SCH2)n, (CH=CH)n, (C.tplbond.C)n, CONR6, NR6CO, O, S, or NR6; R1 = H, OH, halo, etc.; R2, R3 = H, CO2H, alkyl, aryl, etc.; R4, R5 = H, OH, CN, CO2H, etc.; n = 0-4] and pharmaceutically acceptable salts thereof, were prepared Thus, 2,4-thiazolidinedione and K2CO3 followed by NaOH were added to 5-(benzyloxy)-1-(4-[[3,5-bis(trifluoromethyl)phenoxy]methyl]benzyl)-1H-indole-2-carboxaldehyde in EtOH to form the 2,4-thiazolidinedion-4-ylidene derivative The ylidene was dissolved in a solution of DMF and NaH, reacted with an alkyl ester of 4-(bromomethyl)benzoic acid, and deesterified with HF to yield the acid, (E)-(IV). The title compds. are useful as phospholipase enzyme inhibitors, especially cytosolic phospholipase A2 (cPLA2), for treatment of inflammatory conditions and pain, particularly where inhibition of production of prostaglandins, leukotrienes, and PAF are all desired. Eighty-seven compds. of the invention were tested for phospholipase enzyme inhibiting activity in the LysoPC and/or Coumarine assay, IC50 values ranged from 0.081 μM to >50 μM for the LysoPC assay and from 2.5 μM to >64 μM for the Coumarine assay. Selected compds. were tested for in vivo activity in the carrageenan-induced rat paw edema test, and showed 4.2% to 34.2% inhibition. Forty-eight compds. of the invention were tested for cPLA2 enzyme activity, and exhibited 25% to 95% inhibition at concns. of 3 μM to 100 μM. Pharmaceutical composition comprising the compound I was claimed.

ACCESSION NUMBER: 2003:784629 HCAPLUS
DOCUMENT NUMBER: 139:292147
TITLE: Preparation of indole derivatives as phospholipase enzyme inhibitors
INVENTOR(S): Seehra, Jasbir S.; Kaila, Neelu; McKew, John C.; Bemis, Jean E.; Xiang, Yibin; Chen, Lihren
PATENT ASSIGNEE(S): Genetics Institute LLC, USA
SOURCE: U.S., 81 pp., Cont.-in-part of U.S. Ser. No. 30,102.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6630496	B1	20031007	US 2000-645042	20000824
BR 9909242	A	20001114	BR 1999-9242	19990217
PRIORITY APPLN. INFO.:			US 1997-918400	B2 19970826
			US 1998-30102	B2 19980225
			WO 1999-IS388	W 19990217

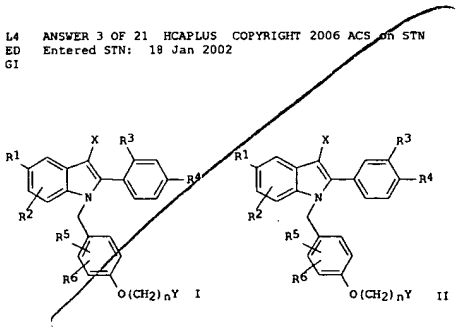
OTHER SOURCE(S): MARPAT 139:292147
IT **241489-98-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of indole derivs. as phospholipase enzyme inhibitors for treatment of inflammatory conditions)

L4 ANSWER 2 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 241489-98-5 HCAPLUS
CN 1H-Indole-2-carbonitrile, 1-[[2,4-bis(trifluoromethyl)phenyl]methyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 18 Jan 2002
GI



AB This invention comprises methods and pharmaceutical compns. for minimizing in a mammal the uterotropic effect of a therapeutic compound selected from the group of tamoxifen, droloxifene, raloxifene, idoxifene, centrochroman, levor, meloxifene, TAT-59, GW 5838 or LY-353381, comprising administration of I or II (R1 = H, OH or the C1-C12 esters or C1-C12 alkyl ethers thereof, or halogens; or C1-C4 halogenated ethers including trifluoromethyl ether and trichloromethyl ether; R2, R3, R4, R5, and R6 = H, OH or C1-C12 esters or C1-C12 alkyl ethers thereof, halogens, or C1-C4 halogenated ethers, cyano, C1-C6 alkyl, or trifluoromethyl, with the proviso that, when R1 = H, R2 is not OH; n = 1, 2, or 3; Y = -N(R7)(R8); R7 and R8 = alkyl or concatenated together to form an optionally substituted, nitrogen-containing ring) or a pharmaceutically acceptable salt thereof. When co-dosed with ERA-923, the uterotropic effect of raloxifene was reduced to control values or less at all doses except for 1 μg combined with 10 μg of raloxifene.

ACCESSION NUMBER: 2002:51431 HCAPLUS
DOCUMENT NUMBER: 136:112663
TITLE: Methods and formulations using substituted indole compounds for inhibiting uterotropic effects of estrogenic agents
INVENTOR(S): Jenkins, Simon Nicholas; Komm, Barry Samuel
PATENT ASSIGNEE(S): American Home Products Corporation, USA; Wyeth
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002004418	A2	20020117	WO 2001-US20992	20010629
WO 2002004418	A3	20031106		

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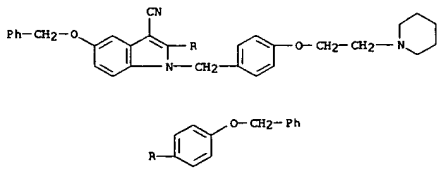
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR,

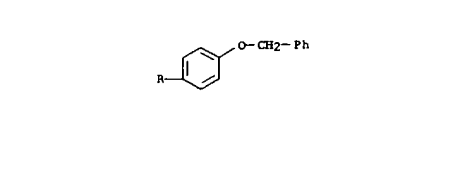
L4 ANSWER 3 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2002028805	A1	20020307	US 2001-896441	20010629
PRIORITY APPLN. INFO.:			US 2000-216191P	P 20000706

OTHER SOURCE(S): MARPAT 136:112663
IT **198481-15-1 198481-16-2**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods and formulations using substituted indole compds. for inhibiting uterotropic effects of estrogenic agents)
RN 198481-15-1 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-(phenylmethoxy)-2-[4-(phenylmethoxy)phenyl]-1-[[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 198481-16-2 HCAPLUS
CN 1H-Indole-3-carbonitrile, 1-[[4-[2-(hexahydro-1H-azepin-1-yl)ethoxy]phenyl]methyl]-5-(phenylmethoxy)-2-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 18 Jan 2002
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB This invention comprises methods of treating treatment of breast disorder comprising administration of a compound such as I. A rapid dissoln. formulation was prepared containing I acetate.

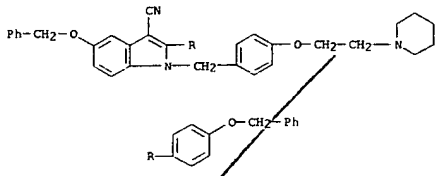
ACCESSION NUMBER: 2002:51265 HCAPLUS
DOCUMENT NUMBER: 136:123636
TITLE: Indole derivatives for treating breast disorders
INVENTOR(S): Miller, Christopher Paul
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002003986	A2	20020117	WO 2001-US20895	20010629
WO 2002003986	A3	20020808		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002016318	A1	20020207	US 2001-896266	20010629
PRIORITY APPLN. INFO.:			US 2000-216183P	P 20000706
OTHER SOURCE(S):		MARPAT 136:123636		

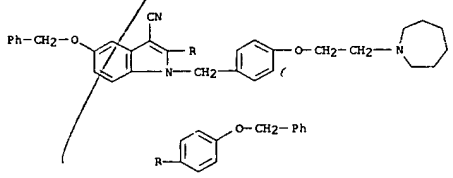
IT **198481-15-1 198481-16-2**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(indole derivs. for treating breast disorders)

RN 198481-15-1 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-(phenylmethoxy)-2-[4-(phenylmethoxy)phenyl]-1-[[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 198481-16-2 HCAPLUS
CN 1H-Indole-3-carbonitrile, 1-[[4-[2-(hexahydro-1H-azepin-1-yl)ethoxy]phenyl]methyl]-5-(phenylmethoxy)-2-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 5 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 07 Dec 2001
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention provides a compound of formula I [R1, R2 = independently H, halogen, CN, hydrocarbyl group or a group of formula II: wherein W = aryl or heterocyclic group, R4 = independently H, halogen, OH, amino, alkanoylamino, OPO3H2, or hydrocarbyl group, wherein the amino group is optionally substituted by an amino acid residue and the hydroxy group is optionally esterified or two R4 groups together form an optionally substituted cyclic or heterocyclic group; X = S, O, S(O), S(O2), or NH; p = 0,1,2,3 or 4; q = 1,2,3 or 4; R3, R10 = independently H, lower alkyl or a group of formula III: wherein Y = NH, O or a bond; Z = NH, O, C(O) or a bond; r = 0,1,2,3 or 4; t = 0 or 1; R6 = H, hydrocarbyl group or a group of formula IV: wherein n = 1,2,3,4,5 or 6; R7, R8 = independently H or hydrocarbyl group; R11 = H or lower alkyl; or a salt or solvate thereof; provided that: when R1 = unsubstituted SPh, R2,R10, and R11 = H then R3 is neither H nor- C(O)OEt; and R1, R2 and R3 are not all H.]. Thus, 5-(4-hydroxyphenylsulphanyl)-2-amino-1H-indole-3-carbonitrile (V) was produced from 4-(4-hydroxyphenylsulphanyl)-2-chloro-nitrobenzene and malononitrile in 62% yield. Such compds. are predicted to cause the selective destruction of tumor vasculature and they may therefore be used to inhibit and/or reverse, and/or alleviate symptoms of angiogenesis and/or any disease state associated with angiogenesis. For example, V has an activity of 36% in the colchicine binding site competitive assay at 10 μM and 55% in the cell detachment assay at 100 μM and 6-methyl-5-fluoro-2-amino-1H-indole-3-carbonitrile (VI) has an activity of 31% in the colchicine binding site competitive assay at 10 μM and 34% in the cell detachment assay at 100 μM.

ACCESSION NUMBER: 2001:886064 HCAPLUS
DOCUMENT NUMBER: 136:20012
TITLE: Synthetic preparation of indole derivatives with potential vascular damaging activity
INVENTOR(S): Arnould, Jean-Claude; Bird, Thomas Geoffrey; Boyle, Francis Thomas; Blakey, David Charles
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca Uk Ltd.
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001092224	A1	20011206	WO 2001-GB2335	20010525
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2406979	AA	20011206	CA 2001-2406979	20010525
EP 1289952	A1	20030312	EP 2001-931944	20010525
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

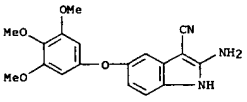
L4 ANSWER 5 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011230	A	20030610	BR 2001-11230	20010525
JP 2003535078	T2	20031125	JP 2002-500839	20010525
NZ 522074	A	20040625	NZ 2001-522074	20010525
ZA 2002008938	A	20040204	ZA 2002-8938	20021104
US 2003216356	A1	20031120	US 2002-276347	20021113
NO 2002005696	A	20021127	NO 2002-5696	20021127
PRIORITY APPLN. INFO.:			EP 2000-401551	A 20000531
			EP 2000-402956	A 20001025
			WO 2001-GB2335	W 20010525

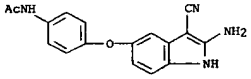
OTHER SOURCE(S): MARPAT 136:20012

IT **378236-89-6P 378236-96-5P 378236-97-6P**
378237-07-1P 378237-10-6P 378237-12-8P
378237-15-1P 378237-25-3P 378237-30-0P
378237-31-1P 378237-32-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(indole derivs. with potential vascular damaging activity)

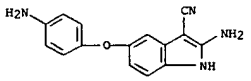
RN 378236-89-6 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-(3,4,5-trimethoxyphenoxy)- (9CI) (CA INDEX NAME)



RN 378236-96-5 HCAPLUS
CN Acetamide, N-[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl]- (9CI) (CA INDEX NAME)

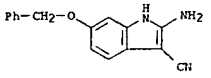


RN 378236-97-6 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-(4-aminophenoxy)- (9CI) (CA INDEX NAME)

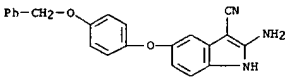


RN 378237-07-1 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)

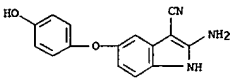
L4 ANSWER 5 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



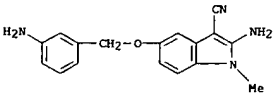
RN 378237-10-6 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-[4-(phenylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



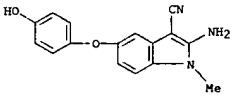
RN 378237-12-8 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-(4-hydroxyphenoxy)- (9CI) (CA INDEX NAME)



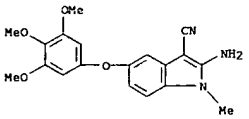
RN 378237-15-1 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-[(3-aminophenyl)methoxy]-1-methyl- (9CI) (CA INDEX NAME)



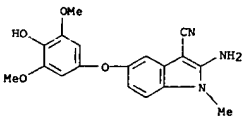
RN 378237-25-3 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-(4-hydroxyphenoxy)-1-methyl- (9CI) (CA INDEX NAME)



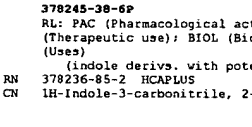
L4 ANSWER 5 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



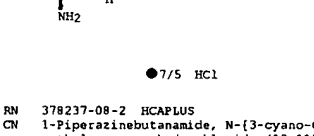
RN 378237-30-0 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-1-methyl-5-(3,4,5-trimethoxyphenoxy)- (9CI) (CA INDEX NAME)



RN 378237-31-1 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-(4-hydroxy-3,5-dimethoxyphenoxy)-1-methyl- (9CI) (CA INDEX NAME)



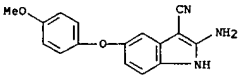
RN 378237-32-2 HCAPLUS
CN 1H-Indole-1-acetamide, 2-amino-3-cyano-5-(3,4,5-trimethoxyphenoxy)- (9CI) (CA INDEX NAME)



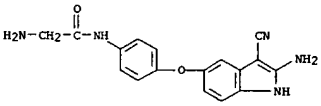
IT **378236-85-2P 378236-99-8P 378237-01-5P**
378237-03-7P 378237-05-9P 378237-08-2P
378237-13-9P 378237-20-8P 378237-22-0P
378237-27-5P 378237-28-6P 378237-29-7P
378237-33-3P 378237-35-5P 378237-36-6P
378245-38-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(indole derivs. with potential vascular damaging activity)

RN 378236-85-2 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-(4-methoxyphenoxy)- (9CI) (CA INDEX

L4 ANSWER 5 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
NAME)

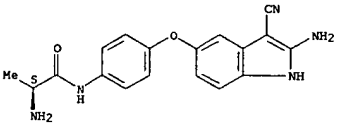


RN 378236-99-8 HCAPLUS
CN Acetamide, 2-amino-N-[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl]- (9CI) (CA INDEX NAME)



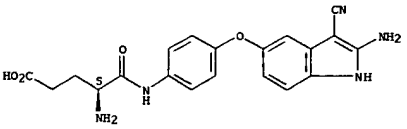
RN 378237-01-5 HCAPLUS
CN Propanamide, 2-amino-N-[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 378237-03-7 HCAPLUS
CN Pentanoic acid, 4-amino-5-[[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl]amino]-5-oxo-, hydrochloride (20:23), (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

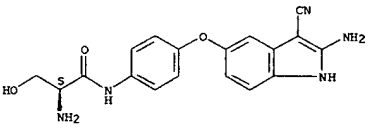


●23/20 HCl

L4 ANSWER 5 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

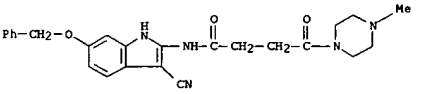
RN 378237-05-9 HCAPLUS
CN Propanamide, 2-amino-N-[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl]-3-hydroxy-, hydrochloride (5:7), (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



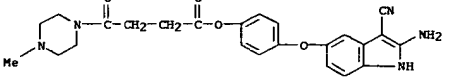
●7/5 HCl

RN 378237-08-2 HCAPLUS
CN 1-Piperazinebutanamide, N-[3-cyano-6-(phenylmethoxy)-1H-indol-2-yl]-4-methyl-γ-oxo-, hydrochloride (10:11) (9CI) (CA INDEX NAME)



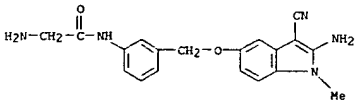
●11/10 HCl

RN 378237-13-9 HCAPLUS
CN 1-Piperazinebutanoic acid, 4-methyl-γ-oxo-, 4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl ester (9CI) (CA INDEX NAME)



RN 378237-20-8 HCAPLUS
CN Acetamide, 2-amino-N-[3-[[(2-amino-3-cyano-1-methyl-1H-indol-5-yl)oxy]methyl]phenyl]-, hydrochloride (10:19) (9CI) (CA INDEX NAME)

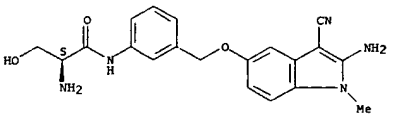
L4 ANSWER 5 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



●19/10 HCl

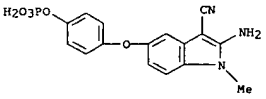
RN 378237-22-0 HCAPLUS
CN Propanamide, 2-amino-N-[3-[[(2-amino-3-cyano-1-methyl-1H-indol-5-yl)oxy]methyl]phenyl]-3-hydroxy-, hydrochloride (5:7), (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



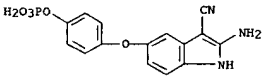
●7/5 HCl

RN 378237-27-5 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-1-methyl-5-[4-(phosphonooxy)phenoxy]- (9CI) (CA INDEX NAME)



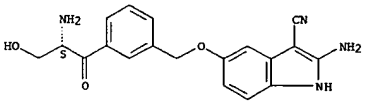
RN 378237-28-6 HCAPLUS
CN 1H-Indole-1-acetamide, 2-amino-3-cyano-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



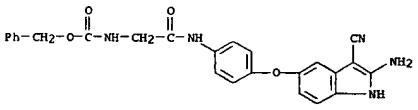
RN 378245-38-6 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-[[3-[(2S)-2-amino-3-hydroxy-1-oxopropyl]phenyl]methoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **378236-98-7P 378237-00-4P 378237-02-6P**
378237-04-8P 378237-06-0P 378237-14-0P
378237-16-2P 378237-17-3P 378237-19-5P
378237-21-9P 378237-23-1P 378237-24-2P
378237-26-4P 378237-34-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(indole derivs. with potential vascular damaging activity)

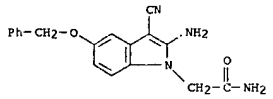
RN 378236-98-7 HCAPLUS
CN Carbamic acid, [2-[[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



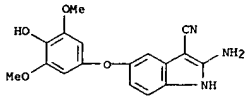
RN 378237-00-4 HCAPLUS
CN Carbamic acid, [(1S)-2-[[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl]amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

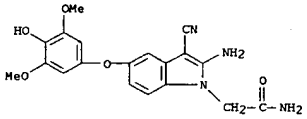
L4 ANSWER 5 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



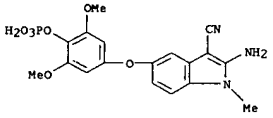
RN 378237-29-7 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-(4-hydroxy-3,5-dimethoxyphenoxy)- (9CI) (CA INDEX NAME)



RN 378237-33-3 HCAPLUS
CN 1H-Indole-1-acetamide, 2-amino-3-cyano-5-(4-hydroxy-3,5-dimethoxyphenoxy)- (9CI) (CA INDEX NAME)

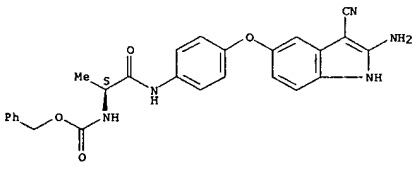


RN 378237-35-5 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-[3,5-dimethoxy-4-(phosphonooxy)phenoxy]-1-methyl- (9CI) (CA INDEX NAME)



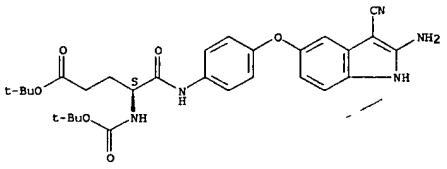
RN 378237-36-6 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-[4-(phosphonooxy)phenoxy]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



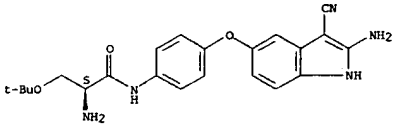
RN 378237-02-6 HCAPLUS
CN Pentanoic acid, 5-[[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl]amino]-4-[[(1,1-dimethylethoxy)carbonyl]amino]-5-oxo-, 1,1-dimethylethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 378237-04-8 HCAPLUS
CN Propanamide, 2-amino-N-[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl]-3-(1,1-dimethylethoxy)-, (2S)- (9CI) (CA INDEX NAME)

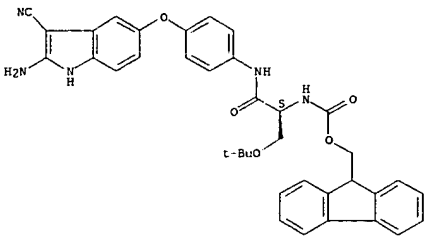
Absolute stereochemistry.



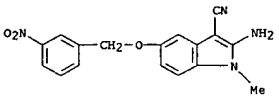
RN 378237-06-0 HCAPLUS
CN Carbamic acid, [(1S)-2-[[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl]amino]-1-[(1,1-dimethylethoxy)methyl]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

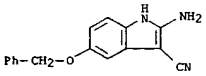
L4 ANSWER 5 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



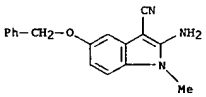
RN 378237-14-0 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-1-methyl-5-[(3-nitrophenyl)methoxy]-(9CI) (CA INDEX NAME)



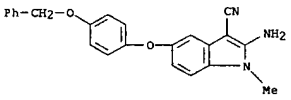
RN 378237-16-2 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



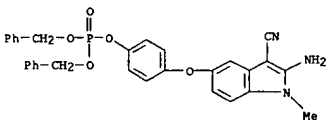
RN 378237-17-3 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-1-methyl-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



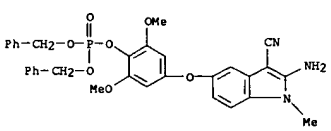
L4 ANSWER 5 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 378237-24-2 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-1-methyl-5-[4-(phenylmethoxy)phenoxy]-(9CI) (CA INDEX NAME)



RN 378237-26-4 HCAPLUS
CN Phosphoric acid, 4-[(2-amino-3-cyano-1-methyl-1H-indol-5-yl)oxy]phenyl bis(phenylmethyl) ester (9CI) (CA INDEX NAME)



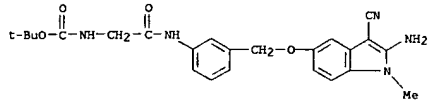
RN 378237-34-4 HCAPLUS
CN Phosphoric acid, 4-[(2-amino-3-cyano-1-methyl-1H-indol-5-yl)oxy]-2,6-dimethoxyphenyl bis(phenylmethyl) ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

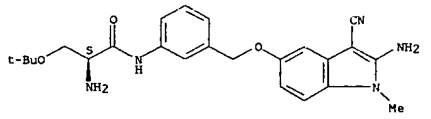
L4 ANSWER 5 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 378237-19-5 HCAPLUS
CN Carbamic acid, [2-[[3-[[(2-amino-3-cyano-1-methyl-1H-indol-5-yl)oxy]methyl]phenyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



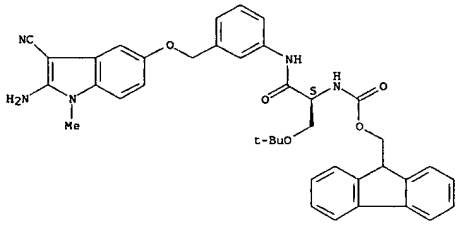
RN 378237-21-9 HCAPLUS
CN Propanamide, 2-amino-N-[3-[[(2-amino-3-cyano-1-methyl-1H-indol-5-yl)oxy]methyl]phenyl]-3-(1,1-dimethylethoxy)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

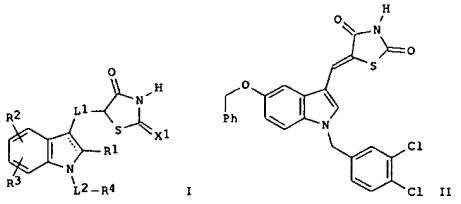


RN 378237-23-1 HCAPLUS
CN Carbamic acid, [(1S)-2-[[3-[[(2-amino-3-cyano-1-methyl-1H-indol-5-yl)oxy]methyl]phenyl]amino]-1-[[(1,1-dimethylethoxy)methyl]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 6 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 Jan 2001
GI



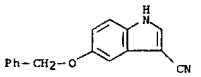
AB The title compds. [I; X1 = O, S, CH2, NR5 (wherein R5 = H, alkyl, aryl); L1 = a single or double bond, CH2, CH; R1 = H, OR5, SR5, etc.; R2, R3 = H, OH, halo, etc.; L2 = a bond, a linking group having 1-3 atoms selected from (un)substituted C, N, O, S; R4 = H, alkyl, alkaryl, etc.], useful in inhibiting telomerase activity and treatment of telomerase mediated conditions or diseases such as cancer, were prepared E.g., a 2-step synthesis of the indole II was given. The exemplified compds. I were tested for telomerase inhibition and showed IC50 of < 100 μM.

ACCESSION NUMBER: 2001:31498 HCAPLUS
DOCUMENT NUMBER: 134:86237
TITLE: Preparation of thiazolidinyl substituted indoles for the treatment of cancer
INVENTOR(S): Chin, Allison C.; Tolman, Richard L.; Nguyen, Mark Q.; Holcomb, Ryan
PATENT ASSIGNEE(S): Geron Corporation, USA
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

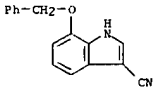
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002394	A1	20010111	WO 2000-US18112	20000630
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1109808	A1	20010627	EP 2000-946946	20000630
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6372742	B1	20020416	US 2000-608861	20000630
US 2002115700	A1	20020822	US 2002-77738	20020213

L4 ANSWER 6 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
PRIORITY APPLN. INFO.: US 1999-142173P P 19990701
US 2000-608861 A1 20000630
WO 2000-US18112 W 20000630

OTHER SOURCE(S): MARPAT 134:86237
IT **194490-25-0 318295-30-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of thiazolidinyl substituted indoles for the treatment of cancer)
RN 194490-25-0 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 318295-30-6 HCAPLUS
CN 1H-Indole-3-carbonitrile, 7-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 26 Nov 1999
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. (I) [where R1 = H, OH, alkyl ester, alkyl ether, halo, or C1-C4 halogenated ether; R2, R3, R4, R5, and R6 = independently H, OH, alkyl ester, alkyl ether, halo, C1-C4 halogenated ether, CN, alkyl, or CF3; when R1 = H, R2 ≠ OH; X = H, alkyl, CN, NO2, CF3, or halo; n = 2 or 3; Y = (un)substituted amino or (bicyclic) heterocyclyl] were prepared as estrogenic agents for the prevention or treatment of cardiovascular disease, diseases resulting from proliferation or abnormal development, actions or growth of endometrial tissue, or diseases related to estrogen deficiency. Thus, 5-benzyloxy-2-(4-benzyloxyphenyl)-3-Me-1H-indole (preparation given) was treated with NaH followed by addition of Et 4-(chloromethyl)phenoxyacetate to give the N-substituted indole. The acetate was hydrogenated with LiAlH4 and the resulting alc. converted to the bromide by treatment with CBr4. Addition of piperidine followed by deprotection using 10% Pd/C in EtOH yielded II, which showed an IC50 of 0.060 μM against estrogen receptor binding. In a 6-wk ovariectomized rat study, the bone mineral d. of the proximal tibia and fourth lumbar vertebrae, body weight, uterine weight, and cholesterol in female Sprague Dawley CD rats treated with II.HCl were compared with measurements taken of controls and those treated with raloxifene or 17β-estradiol. Estrogen receptor binding data and human estrogen receptor transactivational capacity are reported for approx. 60 invention compds., and the estrogenic and antiestrogenic properties of 11 compds. were determined in an immature rat uterotrophic assay.

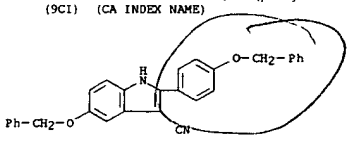
ACCESSION NUMBER: 1999:753069 HCAPLUS
DOCUMENT NUMBER: 132:3312
TITLE: 2-Phenyl-1-[4-(2-aminoethoxy)benzyl]indoles for use in combination with estrogens in hormone replacement therapy
INVENTOR(S): Pickar, James Harrison; Komm, Barry Samuel
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: PCT Int. Appl., 132 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9959581	A1	19991125	WO 1999-US10217	19990511
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6479535	B1	20021112	US 1999-306073	19990506

L4 ANSWER 7 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

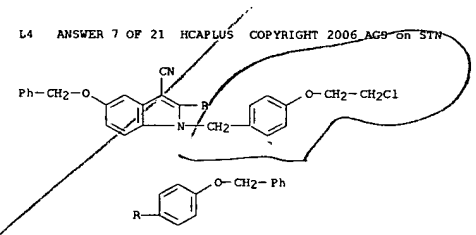
CA 2329530	AA	19991125	CA 1999-2329530		19990511
AU 9938944	A1	19991206	AU 1999-38944		19990511
AU 760378	B2	20030515			
BR 9911040	A	20010213	BR 1999-11040		19990511
EP 1076558	A1	20010221	EP 1999-921834		19990511
EP 1076558	B1	20030716			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
TR 200003377	T2	20010321	TR 2000-200003377		19990511
EE 200000652	A	20020415	EE 2000-652		19990511
EE 4262	B1	20040415			
JP 2002515431	T2	20020528	JP 2000-549246		19990511
AT 245026	E	20030815	AT 1999-921834		19990511
NZ 508200	A	20030926	NZ 1999-508200		19990511
PT 1076558	T	20031128	PT 1999-921834		19990511
ES 2203131	T3	20040401	ES 1999-921834		19990511
SK 284666	B6	20050804	SK 2000-1720		19990511
TW 565554	B	20031211	TW 1999-88107747		19990513
BG 104930	A	20010731	BG 2000-104930		20001108
NO 2000005770	A	20010112	NO 2000-5770		20001114
HR 2000000778	A1	20010630	HR 2000-778		20001115
HR 20000778	B1	20041031			
ZA 2000006959	A	20011127	ZA 2000-6959		20001127
HK 1031691	A1	20031031	HK 2001-102189		20010326
IN 192220	A	20040320	IN 2001-CA419		20010731
US 2003203883	A1	20031030	US 2002-264187		20021003
PRIORITY APPLN. INFO.:			US 1998-109809P	P	19980515
			US 1998-79561	A	19980515
			US 1999-306073	A3	19990506
			WO 1999-US10217	W	19990511

OTHER SOURCE(S): MARPAT 132:3312
IT **198481-12-8P 198481-14-0P 198481-15-1P 198481-16-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 2-phenyl-1-[4-(2-aminoethoxy)benzyl]indole derivs. for use in combination with estrogens in hormone replacement therapy)
RN 198481-12-8 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-(phenylmethoxy)-2-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

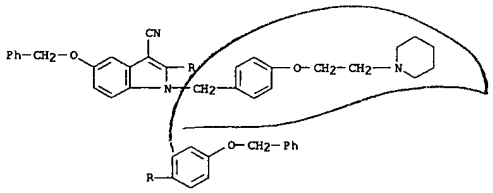


RN 198481-14-0 HCAPLUS
CN 1H-Indole-3-carbonitrile, 1-[[4-(2-chloroethoxy)phenyl]methyl]-5-(phenylmethoxy)-2-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

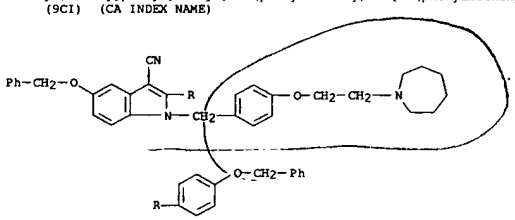
L4 ANSWER 7 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 198481-15-1 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-(phenylmethoxy)-2-[4-(phenylmethoxy)phenyl]-1-[[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

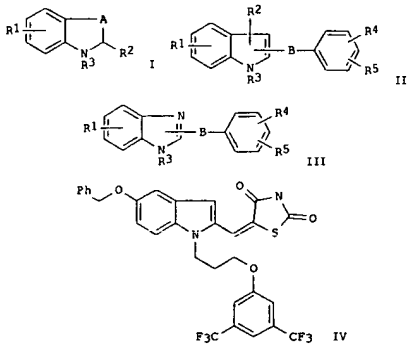


RN 198481-16-2 HCAPLUS
CN 1H-Indole-3-carbonitrile, 1-[[4-[2-(hexahydro-1H-azepin-1-yl)ethoxy]phenyl]methyl]-5-(phenylmethoxy)-2-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



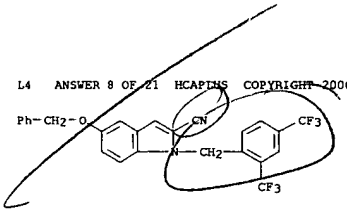
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 08 Sep 1999
GI



AB Indole derivs. (I), (II), and (III) [where A = CH2 or CH2CH2; B = (CH2)n, (CH2O)n, (CH2S)n, (OCH2)n, (SCH2)n, (CH=CH)n, (C.tplbond.C)n, CON(R6), N(R6)CO, O, S, or N(R6); R1 and R5 = independently H, OH, halogen, CN, NO2, C1-5 alkyl, alkenyl, alkynyl, or (un)substituted aryl, etc.; R2 and R3 = independently H, CO2H, COR5, CONR5R6, (CH2)nW(CH2)mZR5, (CH2)nWR5, ZR5, C1-10 alkyl, alkenyl, or substituted aryl; R4 = H, OH, OR6, SR6, CN, COR6, NHR6, CO2H, COR6R7, NO2, (un)substituted sulfamidocarbonyl, C1-5 alkyl, alkenyl, or substituted aryl; R6, R7 = H, C1-5 alkyl, alkenyl, alkynyl, or (un)substituted aryl; W = O, S, CH2, CH=CH, C.tplbond.C, or N(R6); X = O, S, N(R6); Z = CH2, O, S, N(R6), CO, CON(R6), N(R6)CO; m and n = independently 0-4] and pharmaceutically acceptable salts thereof, were prepared Thus, 2,4-thiazolidinedione and K2CO3 followed by NaOH were added to 5-(benzyloxyl)-1-[4-[[3,5-bis(trifluoromethyl)phenoxy]methyl]benzyl]-1H-indole-2-carboxaldehyde in EtOH to form the 2,4-thiazolidinedion-4-ylidene derivative The ylidene was dissolved in a solution of DMF and NaH, reacted with an alkyl ester of 4-(bromomethyl)benzoic acid, and deesterified with HF to yield the acid, (E)-(IV). The title compds. are useful as phospholipase enzyme inhibitors, especially cytosolic phospholipase A2 (cPLA2), for treatment of inflammatory conditions, particularly where inhibition of production of prostaglandins, leukotrienes, and PAF are all desired. Eighty-seven compds. of the invention were tested for phospholipase enzyme inhibiting activity in the LysoPC and/or Coumarine assay. IC50 values ranged from 0.081 μM to >50 μM for the LysoPC assay and from 2.5 μM to >64 μM for the Coumarine assay. Selected compds. were tested for in vivo activity in the carrageenan-induced rat paw edema test, and showed 4.2% to

L4 ANSWER 8 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

34.2% inhibition. Forty-eight compds. of the invention were tested for cPLA2 enzyme activity, and exhibited 25% to 95% inhibition at concns. of 3 μM to 100 μM.

ACCESSION NUMBER: 1999:566043 HCAPLUS
DOCUMENT NUMBER: 131:199620
TITLE: Preparation of indole derivatives as phospholipase enzyme inhibitors
INVENTOR(S): Seehra, Jasbir S.; Xiang, Yibin; Bemis, Jean; McKew, John; Kaila, Neelu; Chen, Lihren
PATENT ASSIGNEE(S): Genetics Institute, Inc., USA
SOURCE: PCT Int. Appl., 225 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9943672	A1	19990902	WO 1999-US3388	19990217
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2322163	AA	19990902	CA 1999-2322163	19990217
AU 9932970	A1	19990915	AU 1999-32970	19990217
BR 9909242	A	20001114	BR 1999-9242	19990217
TR 200002445	T2	20001221	TR 2000-200002445	19990217
EP 1062216	A1	20001227	EP 1999-936073	19990217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002504551	T2	20020212	JP 2000-533428	19990217
EE 200000522	A	20020215	EE 2000-522	19990217
HR 2000000513	A1	20011231	HR 2000-513	20000731
NO 2000004217	A	20001023	NO 2000-4217	20000823
BG 104781	A	20011031	BG 2000-104781	20000919
PRIORITY APPLN. INFO.:			US 1998-30102 A	19980225
			WO 1999-IS3388 W	19990217
			WO 1999-US3388 W	19990217

OTHER SOURCE(S): MARPAT 131:199620
IT **241489-98-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of indole derivs. as phospholipase enzyme inhibitors for treatment of inflammatory conditions)
RN 241489-98-5 HCAPLUS
CN 1H-Indole-2-carbonitrile, 1-[[2,4-bis(trifluoromethyl)phenyl]methyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 07 Nov 1997
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I or II; R1 = H, OH, C1-12 ester, etc.; R2-R6 = H, OH, C1-6 alkyl, etc.; X = H, C1-6 alkyl, CN, etc.; n = 2-3; Y = NR7R8 (wherein R7, R8 = H, C1-6 alkyl, (un)substituted Ph; R7R8 = (CH2)p; p = 2-6), 5-7 membered (un)saturated heterocycle, C6-12 bicyclic heterocycle] and their salts, useful as estrogenic agents for treating or preventing bone loss, disease states or syndromes which are caused or associated with an estrogen deficiency, cardiovascular disease, and disease which result from proliferation or abnormal development, actions or growth of endometrial or endometrial-like tissue, were prepared Thus, reaction of 5-benzyloxy-2-(4-benzyloxyphenyl)-1-[4-(2-bromoethoxy)benzyl]-3-methyl-1H-indole with piperidine in THF followed by treatment of the resulting 5-benzyloxy-2-(4-benzyloxyphenyl)-3-methyl-1-[4-(2-piperidin-1-ylethoxy)benzyl]-1H-indole with cyclohexadiene in the presence of 10% Pd/C in THF/EtOH afforded the title compound III which showed IC5 of 0.060 nM against estrogen receptor binding.

ACCESSION NUMBER: 1997:701837 HCAPLUS
DOCUMENT NUMBER: 127:358782
TITLE: Preparation of 2-phenyl-1-[4-(2-aminoethoxy)benzyl]indoles as estrogenic agents
INVENTOR(S): Miller, Chris P.; Tran, Bach D.; Collini, Michael D.
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: Eur. Pat. Appl., 85 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 802183	A1	19971022	EP 1997-302576	19970415
EP 802183	B1	20011010		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
US 5998402	A	19991207	US 1997-833271	19970404
SK 281737	B6	20010710	SK 1997-472	19970415
AT 206701	E	20011015	AT 1997-302576	19970415
ES 2162198	T3	20011216	ES 1997-302576	19970415
PT 802183	T	20020328	PT 1997-302576	19970415
TW 381093	B	20000201	TW 1997-86104919	19970416
AU 9718920	A1	19971023	AU 1997-18920	19970417
AU 710149	B2	19990916		
ZA 9703302	A	19981019	ZA 1997-3302	19970417
CZ 291701	B6	20030514	CZ 1997-1175	19970417
CA 2203079	AA	19971019	CA 1997-2203079	19970418
NO 9701815	A	19971020	NO 1997-1815	19970418
NO 309564	B1	20010219		
CN 1170719	A	19980121	CN 1997-113496	19970418
CN 1106383	B	20030423		
JP 10036346	A2	19980210	JP 1997-101563	19970418
CA 2203078	AA	19981004	CA 1997-2203078	19970418
IL 120701	A1	20050925	IL 1997-120701	19970418
BR 9701895	A	19981110	BR 1997-1895	19970422
HK 1002863	A1	20020215	HK 1998-101958	19980310

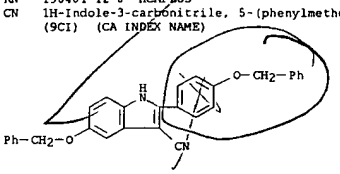
L4 ANSWER 9 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

US 6127404	A	20001003	US 1999-388580		19990902
US 6326367	B1	20011204	US 1999-388581		19990902
US 6225308	B1	20010501	US 1999-416318		19991012
US 6232307	B1	20010515	US 1999-416078		19991012
US 2001021719	A1	20010913	US 2001-779048		20010208
US 6291451	B2	20010918			
US 2003130274	A1	20030710	US 2002-192069		20020710
US 2004110823	A1	20040610	US 2003-617096		20030710
US 6787538	B2	20040907			
US 2004229932	A1	20041118	US 2003-692777		20031024
US 6951852	B2	20051004			
US 2004110824	A1	20040610	US 2003-720504		20031124
US 6835729	B2	20041228			
US 2005026905	A1	20050203	US 2004-916118		20040811
US 6924281	B2	20050802			
US 2005215616	A1	20050929	US 2005-133113		20050519
PRIORITY APPLN. INFO.:			US 1996-15553P	P	19960419
			US 1996-633974	A	19960419
			US 1997-833271	A	19970404
			US 1999-388581	A3	19990902
			US 1999-416318	A1	19991012
			US 2001-974416	B1	20011010
			US 2002-192069	B1	20020710
			US 2003-617096	A1	20030710
			US 2003-720504	A1	20031124
			US 2004-916118	A1	20040811

OTHER SOURCE(S): MARPAT 127:358782

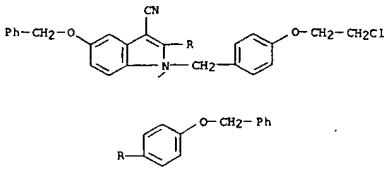
IT **198481-12-8P 198481-14-0P 198481-15-1P**
198481-16-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-phenyl-1-[4-(2-aminoethoxy)benzyl]indoles as estrogenic agents)

RN 198481-12-8 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-(phenylmethoxy)-2-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

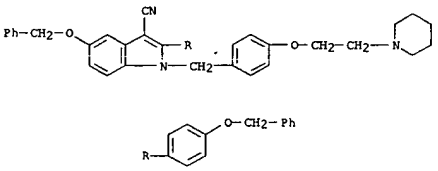


RN 198481-14-0 HCAPLUS
CN 1H-Indole-3-carbonitrile, 1-[[4-(2-chloroethoxy)phenyl]methyl]-5-(phenylmethoxy)-2-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

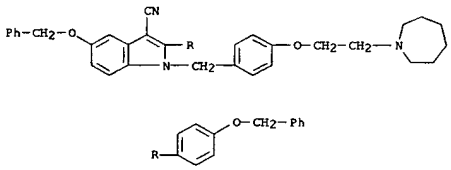
L4 ANSWER 9 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



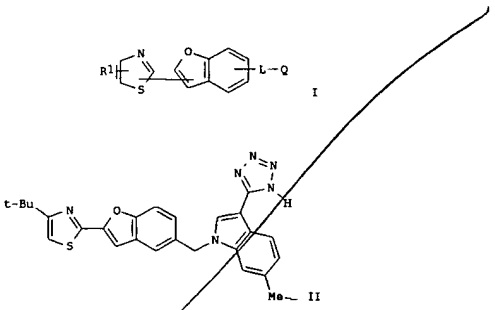
RN 198481-15-1 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-(phenylmethoxy)-2-[4-(phenylmethoxy)phenyl]-1-[[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 198481-16-2 HCAPLUS
CN 1H-Indole-3-carbonitrile, 1-[[4-[2-(hexahydro-1H-azepin-1-yl)ethoxy]phenyl]methyl]-5-(phenylmethoxy)-2-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 13 Aug 1997
GI



AB The title compds. [I; R1 = lower alkyl; L = single bond, (un)substituted lower alkylene; Q = (un)substituted heterocyclic group, lower alkoxy substituted with aryl] which possess activities as leukotriene and SRS-A antagonists or inhibitors, and are useful in the treatment and/or prevention of allergy or inflammation, were prepared Thus, treatment of 4-tert-butyl-2-(5-[(3-cyano-6-methylindol-1-yl)methyl]benzofuran-2-yl)thiazole with NaN3 and NH4Cl in DMF afforded the title compound II which showed IC50 of < 5 nM against 3H-leukotriene D4 receptor binding.

ACCESSION NUMBER: 1997:513631 HCAPLUS
DOCUMENT NUMBER: 127:205572
TITLE: Preparation of thiazolylbenzofurans as leukotriene and SRS-A antagonists or inhibitors
INVENTOR(S): Matsuo, Masaaki; Okumura, Kazuo; Shigenaga, Shinji; Nishimura, Hiroaki; Matsuda, Hiroshi; Hagiwara, Daijiro; Terasaka, Tadashi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 244 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9727190	A1	19970731	WO 1997-JP73	19970117
W: AU, CA, CN, HU, JP, KR, MX, SG, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
ZA 9700415	A	19970730	ZA 1997-415	19970117
CA 2244189	AA	19970731	CA 1997-2244189	19970117
AU 9713991	A1	19970820	AU 1997-13991	19970117
EP 880519	A1	19981202	EP 1997-900432	19970117
EP 880519	B1	20020417		

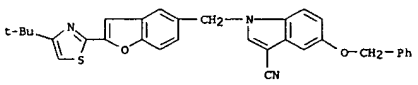
L4 ANSWER 10 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI					
CN 1209809	A	19990303	CN 1997-191798		19970117
JP 2000503984	T2	20000404	JP 1997-526720		19970117
EP 1170009	A2	20020109	EP 2001-123263		19970117
EP 1170009	A3	20020116			
EP 1170009	B1	20040407			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI					
TW 474811	B	20020201	TW 1997-86100473		19970117
AT 216384	E	20020515	AT 1997-900432		19970117
ES 2171878	T3	20020916	ES 1997-900432		19970117
AT 263561	E	20040415	AT 2001-123263		19970117
US 5994378	A	19991130	US 1998-101766		19980721
PRIORITY APPLN. INFO.:			GB 1996-1235	A	19960122
			AU 1996-1111	A	19960718
			AU 1996-9241	A	19960412
			EP 1997-900432	A3	19970117
			WO 1997-JP73	W	19970117

OTHER SOURCE(S): MARPAT 127:205572

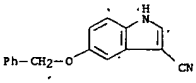
IT **194487-21-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of thiazolylbenzofurans as leukotriene and SRS-A antagonists or inhibitors)

RN 194487-21-3 HCAPLUS
CN 1H-Indole-3-carbonitrile, 1-[[2-[4-(1,1-dimethylethyl)-2-thiazolyl]-5-benzofuranyl]methyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



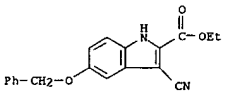
IT **194490-25-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thiazolylbenzofurans as leukotriene and SRS-A antagonists or inhibitors)

RN 194490-25-0 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



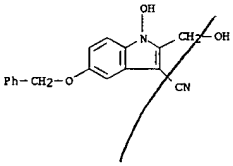
≠R1

L4 ANSWER 11 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 24 Nov 1995
AB This study presents the synthesis of new indoles, pyridazino[4,5-b]-indole, and pyridazino[4,5-a]indole analogs as well as a study of their in vitro activity as inhibitors of different phosphodiesterases isolated from dog cardiac tissue, dog aorta, and bovine platelets; the study of their activity as inhibitors of platelet aggregation in guinea pig whole blood, with ADP and arachidonic acid (AA) as pro-aggregants, is also included. The selected compds. 8-benzyloxy-3,4-dihydro-1-(3,4,5-trimethoxy)benzylideneaminopyridazino[4,5-b]indole, and 8-benzyloxy-4-[(3,5-dimethyl)prazolyl]pyridazino[4,5-b]indole present an interesting profile as potential inodilators, with a complementary beneficial activity as inhibitors of the aggregation, activities which could possibly be related to the inhibition of the PDEs. Among the other compds. studied, 8-benzyloxy-3,4-dihydro-1-[4-(methyl)piperazino]acetamidopyridazino[4,5-b]indol-4-one and 8-benzyloxy-3,4-dihydro-1-[4-(2-methoxyphenyl)piperazino]acetamidopyridazino[4,5-b]indol-4-one stood out as inhibitors of platelet aggregation, with a mechanism that could possibly be related to the AA cascade.
ACCESSION NUMBER: 1995:945866 HCAPLUS
DOCUMENT NUMBER: 124:75532
TITLE: New indole and pyridazinoindole analogs - synthesis and study as inhibitors of phosphodiesterases and as inhibitors of blood platelet aggregation
AUTHOR(S): Monge, Antonio; Navarro, Maria-Eugenia; Font, Maria; Santiago, Esteban; Alberdi, Elena; Martinez-Irujo, Juan-Jose
CORPORATE SOURCE: Cent. Invest. Farmacobiol. Aplicada, Univ. Navarra, Pamplona, 31080, Spain
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1995), 328(10), 689-98
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: VCH
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **40432-13-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in preparation of indole and pyridazinoindole analogs as inhibitors of phosphodiesterases and blood platelet aggregation)
RN 40432-13-1 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 3-cyano-5-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)

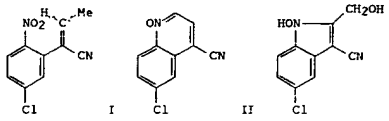


= R_1

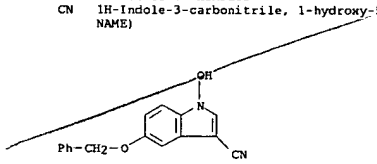
L4 ANSWER 12 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 12 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 05 Mar 1994
GI

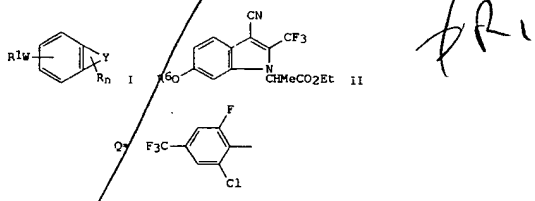


AB Nitriles and esters of 2-(o-nitroaryl)crotonic acids are converted under basic conditions into substituted quinoline N-oxides, N-hydroxyindoles and N-hydroxy-2-hydroxymethylindoles. Factors governing the reaction course and mechanistic pathways are discussed. E.g., treating I with NaOH/MeOH gave 77% quinoline N-oxide II. Treatment of I with K2CO3/MeOH gave 67% indole III.
ACCESSION NUMBER: 1994:106724 HCAPLUS
DOCUMENT NUMBER: 120:106724
TITLE: Reactions of organic anions. 197. Transformations of o-nitroarylallyl carbanions. Synthesis of quinoline N-oxides and N-hydroxyindoles
AUTHOR(S): Wrobel, Zbigniew; Makosza, Mieczyslaw
CORPORATE SOURCE: Inst. Org. Chem., Pol. Acad. Sci., Warsaw, 01-224, Pol.
SOURCE: Tetrahedron (1993), 49(24), 5315-26
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:106724
IT **152562-12-4P 152562-18-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 152562-12-4 HCAPLUS
CN 1H-Indole-3-carbonitrile, 1-hydroxy-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 152562-18-0 HCAPLUS
CN 1H-Indole-3-carbonitrile, 1-hydroxy-2-(hydroxymethyl)-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 30 Mar 1993
GI

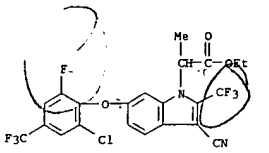


AB Title compds. [I; ≥1 of R = CR2R3XR4 and the others = OH, alkoxy, alkyl, halo, etc.; R1 = aryl, heterocyclyl; R2, R3 = H, alkyl, alkenyl, halo, etc.; R4 = cyano, CO2H, alkoxycarbonyl, CHO, CH2OH, etc.; W = O, NH, alkylimino; X = bond, CH2, CH2CH2, CH:CH, COCH2, etc.; Y = atoms to complete a 5-membered (saturated) N-containing ring; n = 1-5] were prepared Thus, 4-chloro-3-nitroanisole was condensed with NCCH2CO2Et and the product converted in 3 steps to 4-methoxy-2-(trifluoroacetamido)phenylacetonitrile which was cyclized and the product N-alkylated with BrCHMeCO2Et to give indolepropionate II (R6 = Me). The latter was O-demethylated and the product condensed with 5-chloro-3,4-difluorobenzotrifluoride to give II (R6 = Ph group Q) which gave 80-100% control of 5 weeds, e.g., Sorghum halepense, with 6-15% damage to rice and winter wheat at 0.25 kg/ha postemergent.
ACCESSION NUMBER: 1993:124391 HCAPLUS
DOCUMENT NUMBER: 118:124391
TITLE: Preparation of phenoxyindolealkanoates and analogs as herbicides
INVENTOR(S): Barton, John Edward Duncan; Cartwright, David; Mathews, Christopher John
PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK
SOURCE: Brit. UK Pat. Appl., 39 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

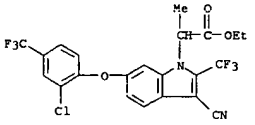
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2253848	A1	19920923	GB 1992-4887	19920305
PRIORITY APPLN. INFO.:			GB 1991-5677 A	19910319

OTHER SOURCE(S): MARPAT 118:124391
IT **145692-45-1P 145692-46-2P 145692-47-3P 145692-49-5P 145692-50-8P 145692-51-9P 145692-52-0P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide)
RN 145692-45-1 HCAPLUS

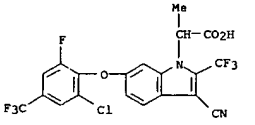
L4 ANSWER 13 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Indole-1-acetic acid, 6-[2-chloro-6-fluoro-4-(trifluoromethyl)phenoxy]-3-cyano-α-methyl-2-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 145692-46-2 HCAPLUS
CN 1H-Indole-1-acetic acid, 6-[2-chloro-4-(trifluoromethyl)phenoxy]-3-cyano-α-methyl-2-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME)

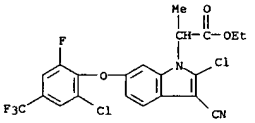


RN 145692-47-3 HCAPLUS
CN 1H-Indole-1-acetic acid, 6-[2-chloro-6-fluoro-4-(trifluoromethyl)phenoxy]-3-cyano-α-methyl-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

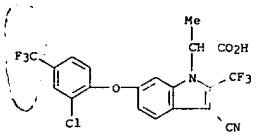


RN 145692-49-5 HCAPLUS
CN 1H-Indole-1-acetic acid, 6-[2-chloro-4-(trifluoromethyl)phenoxy]-3-cyano-α-methyl-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

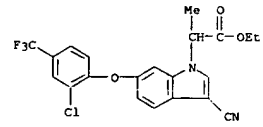
L4 ANSWER 13 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



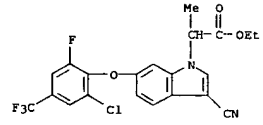
L4 ANSWER 13 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 145692-50-8 HCAPLUS
CN 1H-Indole-1-acetic acid, 6-[2-chloro-4-(trifluoromethyl)phenoxy]-3-cyano-α-methyl-, ethyl ester (9CI) (CA INDEX NAME)

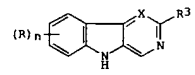


RN 145692-51-9 HCAPLUS
CN 1H-Indole-1-acetic acid, 6-[2-chloro-6-fluoro-4-(trifluoromethyl)phenoxy]-3-cyano-α-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 145692-52-0 HCAPLUS
CN 1H-Indole-1-acetic acid, 2-chloro-6-[2-chloro-6-fluoro-4-(trifluoromethyl)phenoxy]-3-cyano-α-methyl-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 18 Oct 1991
GI



I

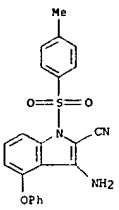
AB β-Carboline derivs. I [R = halo, CHR1R2, PH, OR5; n = 1, 2; R1 = H, C1-4 alkyl; R2 = (substituted) Ph, CH2Ph or OPh, H, C1-4 alkyl; C1-4 alkoxy; R5 = (substituted) Ph, CH2Ph, or heteroaryl, H, trialkylsilyl, C1-4 alkyl, C3-7 cycloalkyl; X = N, CR4; R4 = H, C1-4 alkyl, C1-4 alkoxymethyl, C1-4 alkoxyethyl; R3 = COR6, CH(OH)R6; R6 = C3-10 cycloalkyl or bicycloalkyl, (substituted) aryl or heteroaryl], useful as benzodiazepine receptor agonists and/or antagonists (no data), were prepared Thus, 6-benzyloxy-4-methoxymethyl-9-tosyl-β-carboline-3-carboxylic acid iso-Pr ester in absolute THF at -60° was treated with 1.08 M PhLi in Et2O/hexane and the resulting solution was stirred 1 h at -60°. The solution was warmed to room temperature, stirred 3 h, then acidified by HCl to give 6-benzyloxy-4-methoxymethyl-3-benzoyl-β-carboline.

ACCESSION NUMBER: 1991:559181 HCAPLUS
DOCUMENT NUMBER: 115:159181
TITLE: Preparation of β-carboline analogs as central nervous system (CNS) agents
INVENTOR(S): Huth, Andreas; Krueger, Martin; Rahtz, Dieter; Seidelmann, Dieter; Schmiechen, Ralph; Turski, Lechoslaw; Andrews, John Stewart; Schneider, Herbert Hans
PATENT ASSIGNEE(S): Schering A.-G., Germany
SOURCE: Ger. Offen., 7 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3943225	A1	19910627	DE 1989-3943225	19891223
CA 2050917	AA	19910624	CA 1990-2050917	19901219
WO 9109858	A1	19910711	WO 1990-DE982	19901219
W: CA, HU, JP, NO, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
EP 460153	A1	19911211	EP 1991-900736	19901219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
HU 59403	A2	19920528	HU 1991-2769	19901219
JP 04505928	T2	19921015	JP 1991-501181	19901219
NO 9103297	A	19910822	NO 1991-3297	19910822
US 5254563	A	19931019	US 1991-773659	19911023
PRIORITY APPLN. INFO.:			DE 1989-3943225 A	19891223
			WO 1990-DE982 W	19901219

OTHER SOURCE(S): MARPAT 115:159181
IT **136305-16-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for CNS agents)
RN 136305-16-3 HCAPLUS
CN 1H-Indole-2-carbonitrile, 3-amino-1-[(4-methylphenyl)sulfonyl]-4-phenoxy- (9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 15 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 09 Jun 1990

AB The compds. AOCH2CH(OH)CH2NR2XYNR12 [I; A = fused aromatic bicyclyl 6-7-membered with 0-4 heteroatoms, aromatic monocyclyl 5-6-membered with 0-1 heteroatom and having a side chain hydrocarbyl with at least 1 double bond and 0-2 heteroatoms; X = quaternary C with alkyl substituents; Y = C1-10 hydrocarbyl, (un)substituted C5-7 cyclohydrocarbyl; Z = H, organic functional group containing ≤12 skeletal C and ≤4 heteroatoms; R1, R2 = H, C1-4 alkyl] were prepared I are useful as antimigraine drugs and anxiolytics (no data). N-[3-(4-Indolyloxy)-2-hydroxypropyl]-(Z)-1,8-diamino-p-menthane (preparation given) in THF was added to BrCH2COBr to give N1-(bromoacetyl)-N8-[3-(4-indolyloxy)-2-hydroxypropyl]-(Z)-1,8-diamino-p-menthane (II). II was very potent at 5-HT1A binding sites (IC50 = 0.71 nM) in rat brain radioligand binding studies.

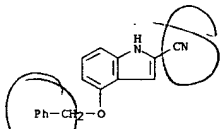
ACCESSION NUMBER: 1990:216687 HCAPLUS
DOCUMENT NUMBER: 112:216687
TITLE: Preparation of selective-binding compounds for 5-hydroxytryptamine 1A receptors
INVENTOR(S): Peroutka, Stephen J.; Pitha, Josef
PATENT ASSIGNEE(S): Leland Stanford Junior University, USA
SOURCE: Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 338877	A1	19891025	EP 1989-400817	19890323
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5229412	A	19930720	US 1988-173442	19880325
JP 02022252	A2	19900125	JP 1989-70718	19890324
PRIORITY APPLN. INFO.:			US 1988-173442 A	19880325

OTHER SOURCE(S): MARPAT 112:216687

IT **106469-56-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deprotection of)

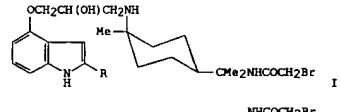
RN 106469-56-1 HCAPLUS
CN 1H-Indole-2-carbonitrile, 4-(phenylmethoxy)- (9CI) (CA INDEX NAME)



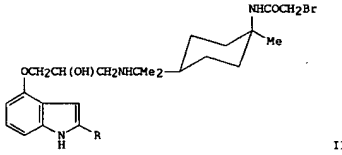
L4 ANSWER 16 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 29 May 1987

GI



I



II

AB New alkylating ligands derived from indole with high affinity for β-adrenoceptors were synthesized and their properties examined Indolyloxypropanolamines I and II (R = H) were prepared by the reaction of BrCH2COBr with a product of the condensation of 4-indolyl glycidyl ether with (Z)-1,8-diamino-p-menthane. A similar reaction employing 2-cyano-4-indolyl glycidyl ether yielded the resp. cyano derivs. I and II (R = cyano). Apparent affinities (Ki, M) for β-adrenoceptors on membrane prepns. from rat heart and lung were 4.6 + 10-10 and 1.34 + 10-9 for I (R = H), 2.3 + 10-8 and 4.5 + 10-9 for II (R = H), 6.1 + 10-10 and 1.49 + 10-9 for I (R = cyano), and 1.83 + 10-9 and 2.78 + 10-9 for II (R = cyano), resp. When membranes were preincubated with I and II (R = H, cyano) and then washed extensively, reduction in the concentration of specific binding sites of [3H]dihydroalprenolol (III) ranged from 7% to 76% and there was no change in affinities of the remaining binding sites. (±)-Alprenolol and (-)-isoproterenol, but not (+)-isoproterenol, when included with the alkylation ligands in the preincubation mixts., prevented the reduction in concentration of III binding sites. I and II (R = H, cyano) alone did not stimulate adenylate cyclase activity in rat heart homogenates. However, I and II inhibited (-)-isoproterenol-stimulated adenylate cyclase activity with Ki of 5-60 + 10-9 M. These results suggest that I and II were high-affinity irreversible β-adrenergic antagonists that may be useful for in vivo studies of β-adrenoceptors.

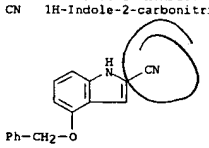
ACCESSION NUMBER: 1987:176111 HCAPLUS
DOCUMENT NUMBER: 106:176111
TITLE: Affinity labels for β-adrenoceptors: preparation and properties of alkylating β-blockers derived from indole
AUTHOR(S): Pitha, Josef; Buchowiecki, Wieslaw; Milecki, Jan; Kusiak, John W.
CORPORATE SOURCE: Francis Scott Key Med. Cent., Natl. Inst. Aging, Baltimore, MD, 21224, USA
SOURCE: Journal of Medicinal Chemistry (1987), 30(4), 612-15
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal

L4 ANSWER 16 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

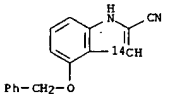
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:176111

IT **106469-56-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and debenzylation of, hydroxyindole from)

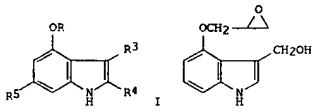
RN 106469-56-1 HCAPLUS
CN 1H-Indole-2-carbonitrile, 4-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L4 ANSWER 17 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
AB Two alternative strategies are available for the labeling of structurally related compds. Strategy Number 1 treats them as chemical totally different entities. Accordingly, they are prepared by different synthetic routes starting from different labeling precursors. Strategy Number 2 emphasizes the structural relationship between the target mols., which are obtained by appropriate conversion reactions of the functional groups of a common labeled precursor. Both strategies were applied in the preparation of carbon-14 labeled indole β-blocking agents: strategy Number 1 for the labeling of the side chains, since no common precursor exists, and strategy Number 2 for the labeling of the indole nucleus due to the similarity of the substitution pattern.
ACCESSION NUMBER: 1983:470512 HCAPLUS
DOCUMENT NUMBER: 99:70512
TITLE: Synthetic strategies for the radiolabeling of structurally related compounds: carbon-14-labeling of indole β-blocking and antiarrhythmic agents
AUTHOR(S): Voges, Rolf; Griesser, R.; Schreier, E.
CORPORATE SOURCE: Pharm. Res. Dev., Sandoz Ltd., Basel, CH-4002, Switz.
SOURCE: Synth. Appl. Isot. Labeled Compd., Proc. Int. Symp. (1983), Meeting Date 1982, 209-14. Editor(s): Duncan, William P.; Susan, Alexander B. Elsevier: Amsterdam, Neth.
CODEN: 49JHAD
DOCUMENT TYPE: Conference
LANGUAGE: English
IT **86618-93-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 86618-93-1 HCAPLUS
CN 1H-Indole-3-14C-2-carbonitrile, 4-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L4 ANSWER 18 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
GI

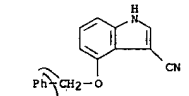


AB I [R = H, aralkyl, CH2CH(OR1)CH2R2 (R1 = H, acyl, aroyl; R2 = reactive group; or R1R2 = valence bond); R3 = -CN, CHO, CONH2, CH2OH, etc.; R4 = H, Me, CH2OR1; R5 = H, lower alkyl] were prepd. Thus, 4-(benzyloxy)-3-formylindole was hydrogenolyzed, reduced with NaBH4, and treated with epichlorohydrin to give II.
ACCESSION NUMBER: 1982:199527 HCAPLUS
DOCUMENT NUMBER: 96:199527
TITLE: Indole derivatives
INVENTOR(S): Michel, Helmut; Kampe, Wolfgang; Ofenloch, Roland
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 22 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

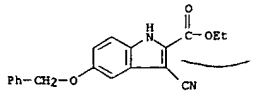
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 45910	A1	19820217	EP 1981-106017	19810731
EP 45910	B1	19841010		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
DE 3029980	A1	19820311	DE 1980-3029980	19800808
US 4442295	A	19840410	US 1981-288077	19810729
AT 9794	E	19841015	AT 1981-106017	19810731
JP 57054168	A2	19820331	JP 1981-123184	19810807
PRIORITY APPLN. INFO.:			DE 1980-3029980 A	19800808
			EP 1981-106017 A	19810731

OTHER SOURCE(S): CASREACT 96:199527
IT **81779-24-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenolysis of)
RN 81779-24-0 HCAPLUS
CN 1H-Indole-3-carbonitrile, 4-(phenylmethoxy)- (9CI) (CA INDEX NAME)

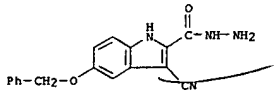
L4 ANSWER 18 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 19 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.
AB 5-Substituted derivs. (I) of 3-formyl-2-carbethoxyindole treated with MeNO2 and EtNO2 in AcOH containing AcONa gave almost quant. II (R = PhCH2O, MeO; R1 = H, Me). An analogous derivative was prepared from 3-formyl-2-carbethoxy-4,5-benzindole. Hydrolysis of the ester function in I occurred on refluxing with aqueous-alc. NaOH. II (R = PhCH2O; R1 = H) reduced with NaBH4 in EtOH yielded 62% III. I (5-benzyloxy derivative) treated with anisidine and aminoantipyrine yielded the corresponding Schiff bases. I (5-benzyloxy and 5-methoxy derivs.) with NH2OH-HCl and AcONa gave the corresponding oximes, which on treatment with Ac2O were converted into the corresponding 2-carbethoxy-3-cyano-5-alkoxyindoles (IV). IV and 80% NH2NH2.H2O refluxed in DMF gave >90% V (R = PhCH2O, MeO). A similar reaction of II and the Schiff bases and oximes derived from I resulted in hydrazinolysis of the double bond with the formation of VI (R = PhCH2O, MeO).
ACCESSION NUMBER: 1976:17065 HCAPLUS
DOCUMENT NUMBER: 84:17065
TITLE: Derivatives of 2-carbethoxyindole. IV. Derivatives of 3-formyl-2-carbethoxyindole
AUTHOR(S): Nantka-Namirski, Pawel; Ozdowska, Zofia
CORPORATE SOURCE: Inst. Org. Chem., Pol. Acad. Sci., Warsaw, Pol.
SOURCE: Acta Poloniae Pharmaceutica (1975), 32(3), 273-8
CODEN: APPHAX; ISSN: 0001-6837
DOCUMENT TYPE: Journal
LANGUAGE: Polish
OTHER SOURCE(S): CASREACT 84:17065
IT **40432-13-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with hydrazine)
RN 40432-13-1 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 3-cyano-5-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



IT **40432-15-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 40432-15-3 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 3-cyano-5-(phenylmethoxy)-, hydrazide (9CI) (CA INDEX NAME)

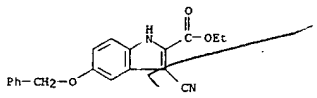


L4 ANSWER 19 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued,

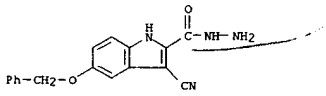
L4 ANSWER 20 OF 21 HCAPLUS [illegible] on STN
ED Entered STN: 12 May 1984
AB The title hydrazides (I; R = Me, benzyl) were prepared by dehydration of III with Ac2O to give II and by treating II with N2H4.H2O. Thus, 2.62 g III (R = Me) was refluxed 1 hr with Ac2O to give 2.15 g II (R = Me) which was refluxed with N2H4H2O and 15 ml DMF to give 91% I (R = Me).
ACCESSION NUMBER: 1973:136606 HCAPLUS
DOCUMENT NUMBER: 78:136060
TITLE: 3-Cyanoindolyl-2-carboxylic acid hydrazides
INVENTOR(S): Nantka-Namirski, Pawel; Ozdowska, Zofia
PATENT ASSIGNEE(S): Instytut Farmaceutyczny
SOURCE: Pol., 2 pp.
CODEN: POXXA7
DOCUMENT TYPE: Patent
LANGUAGE: Polish
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PL 65814		19720715	PL [illegible]	19691017

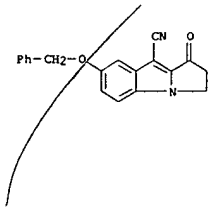
IT **40432-13-1P 40432-15-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 40432-13-1 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 3-cyano-5-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 40432-15-3 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 3-cyano-5-(phenylmethoxy)-, hydrazide (9CI) (CA INDEX NAME)



L4 ANSWER 21 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 22 Apr 2001
GI For diagram(s), see printed CA Issue.
AB Certain transformations in the relatively rare 2,3-dihydro-1H-pyrrolo[1,2-a]indole system are described. Monobromination of the 6-methyl-7-methoxy-2,3-dihydro-1H-pyrrolo[1,2-a]indol-1-ones I results in attack at the β-indolic C to give the 9-bromo derivative Treatment of I with 2 equivs. of Br furnishes the 2,9-dibromide. The order of preference observed in the reaction of this system with Br may be reversed via the intermediacy of an enamine derivative Hence, bromination of enamine II gives the 2-bromide III. Various approaches to the unknown 3H-pyrrolo[1,2-a]indole structure, e.g., IV, are discussed. Catalytic reduction of enamine II affords tertiary amine V, the methiodide of which, on treatment with tert-BuOK, furnishes the 9H-pyrrolo[1,2-a]indole (VI).
ACCESSION NUMBER: 1965:462879 HCAPLUS
DOCUMENT NUMBER: 63:62879
ORIGINAL REFERENCE NO.: 63:11479b-e
TITLE: Mitomycin antibodies. Synthetic studies. VI. Transformations in the 2,3-dihydro-1H-pyrrolo[1,2-a] indole system
AUTHOR(S): Allen, George R., Jr.; Weiss, Martin J.
CORPORATE SOURCE: Am. Cyanamid Co., Pearl River, NY
SOURCE: Journal of Organic Chemistry (1965), 30(9), 2904-10
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 63:62879
IT **3418-67-5**, 1H-Pyrrolo[1,2-a]indole-9-carbonitrile, 7-(benzyloxy)-2,3-dihydro-1-oxo-
(preparation of)
RN 3418-67-5 HCAPLUS
CN 1H-Pyrrolo[1,2-a]indole-9-carbonitrile, 4-(benzyloxy)-2,3-dihydro-1-oxo- (8CI) (CA INDEX NAME)



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FULL ESTIMATED COST                                   109.84     277.43

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                      ENTRY     SESSION
CA SUBSCRIBER PRICE                                   -15.75     -15.75

FILE 'REGISTRY' ENTERED AT 14:30:08 ON 01 FEB 2006
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STRUCTURE FILE UPDATES:   31 JAN 2006  HIGHEST RN 873191-05-0
DICTIONARY FILE UPDATES:  31 JAN 2006  HIGHEST RN 873191-05-0

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TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

*************************************************************************
*                                                                       *
* The CA roles and document type information have been removed from     *
* the IDE default display format and the ED field has been added,       *
* effective March 20, 2005.  A new display format, IDERL, is now        *
* available and contains the CA role and document type information.     *
*                                                                       *
*************************************************************************

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on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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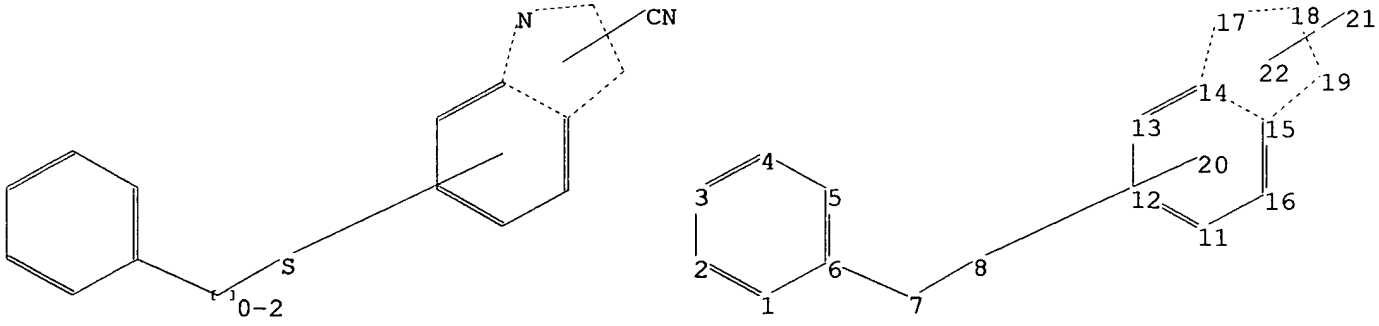

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7  8  21
ring nodes :
1  2  3  4  5  6  11  12  13  14  15  16  17  18  19
chain bonds :
6-7  7-8
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  11-12  11-16  12-13  13-14  14-15  14-17  15-16  15-19
17-18  18-19
exact/norm bonds :
7-8  14-15  14-17  15-19  17-18  18-19
exact bonds :
6-7
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  11-12  11-16  12-13  13-14  15-16

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS  11:Atom  12:Atom
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22:CLASS



L5      STRUCTURE UPLOADED

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100.0% PROCESSED      612 ITERATIONS                                  2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        10756 TO    13724
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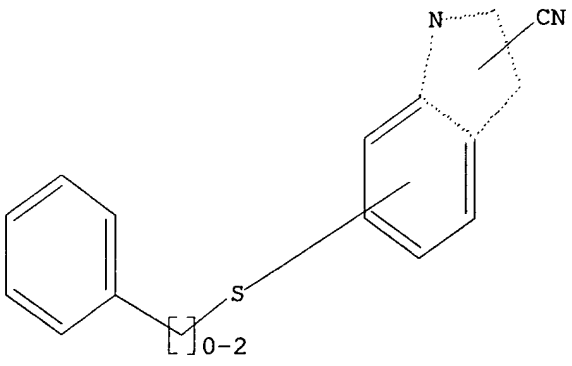

Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 14:31:37 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 12752 TO ITERATE

100.0% PROCESSED 12752 ITERATIONS 20 ANSWERS
SEARCH TIME: 00.00.01

L7 20 SEA SSS FUL L5

=> fil hcaplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	167.82	445.25

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-15.75

FILE 'HCAPLUS' ENTERED AT 14:31:44 ON 01 FEB 2006

FILE COVERS 1907 - 1 Feb 2006 VOL 144 ISS 6
FILE LAST UPDATED: 31 Jan 2006 (20060131/ED)

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This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l7
L8 14 L7

=> d ed abs ibib hitstr 1-14

L8 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 Nov 2004
AB The present invention relates to a pharmaceutical combination for the treatment of diseases which involves cell proliferation, migration or apoptosis of myeloma cells, or angiogenesis. The invention also relates to a method for the treatment of said diseases, comprising co-administration of effective amts. of specific active compds. and/or co-treatment with radiation therapy, in a ratio which provides an additive and synergistic effect, and to the combined use of these specific compds. and/or radiotherapy for the manufacture of corresponding pharmaceutical combination prepns. The pharmaceutical combination can include selected protein tyrosine kinase receptor antagonists and further chemotherapeutic or naturally occurring semisynthetic or synthetic agents.
ACCESSION NUMBER: 2004:965067 HCAPLUS
DOCUMENT NUMBER: 141:406039
TITLE: Combinations for the treatment of diseases involving cell proliferation, migration or apoptosis of myeloma cells, or angiogenesis
INVENTOR(S): Hilberg, Frank; Solca, Flavio; Stefanic, Martin Friedrich; Baum, Anke; Munzert, Gerd; Van Meel, Jacobus C. A.
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

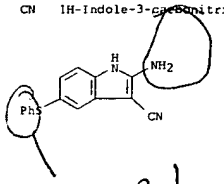
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004096224	A2	20041111	WO 2004-EP4363	20040424
WO 2004096224	A3	20041216		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1473043	A1	20041103	EP 2003-9587	20030429
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CA 2523868	AA	20041111	CA 2004-2523868	20040424

PRIORITY APPLN. INFO.:

EP 2003-9587	A	20030429
EP 2004-508	A	20040113
EP 2004-1171	A	20040121
WO 2004-EP4363	W	20040424

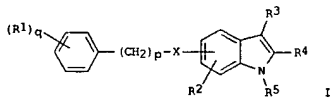
IT **91531-98-5**, Amphethinile
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drug combinations for diseases involving cell proliferation and migration or apoptosis or angiogenesis including protein tyrosine kinase receptor antagonists and radiotherapy)

L8 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 91531-98-5 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-(phenylthio)- (9CI) (CA INDEX NAME)



FR1

L8 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 10 Oct 2003
GI



I

Appl

AB The invention relates to the use of a compound of formula (I) [R1 = independently halo, HO or its ester, (un)substituted NH2, alkanoylamino, OPO3H2, C1-4 alkoxy; X = O, S, SO, SO2; R2 = H, C1-4 alkyl, C1-4 alkoxy; R3, R4 = H, C1-4 alkyl, C1-4 alkanoyl, C1-4 alkoxycarbonyl, C1-4 alkoxycarbonyl-C1-4 alkyl, C1-4 alkoxycarbonylamino, optionally alkylated amino, amino-C1-4 alkyl, CONH2, carbamoyl-C1-4 alkyl, cyano, cyano-C1-4 alkyl, HO, hydroxy-C1-4 alkyl; R5 = H, C1-4 alkyl, a group of formula (CH2)tCO-Y-(CH2)r-Z-R8 (wherein Y = NH, O or a bond; Z = NH, O, CO, a bond; r = an integer from 0 to 4; t = 0, 1; R8 = H, C1-4 alkyl, C1-4 alkoxy, each (un)substituted aryl, 5 or 6 membered heterocyclyl, 5- or 6-membered heteroaryl); p = 0, 1; q = an integer from 0 to 3; with the proviso that: (i) when R3 is cyano then R4 cannot be an (un)substituted amino, and (ii) when q is 0, R3 is cyano and X is S then R4 is other than amino] or a salt, prodrug or solvate thereof, for the manufacture of a medicament to inhibit and/or reverse and/or alleviate symptoms of angiogenesis and/or any disease state associated with angiogenesis. The invention also relates to use of compds. I as medicaments and also to novel compds. I. The invention further provides pharmaceutical compns. of compds. I and processes for the synthesis of compds. I. A subset of the compds. I, e.g. 3-cyano-5-phenylsulfanyl-1H-indole, 3-cyano-5-phenoxy-1H-indole, 3-cyano-5-(4-hydroxyphenoxy)-1H-indole, 2-cyano-5-benzyloxy-1H-indole, 1-methyl-3-cyano-5-(4-hydroxy-3,5-dimethoxyphenoxy)-1H-indole, and 1-methyl-3-cyano-5-(4-phosphonoxy-3,5-dimethoxyphenoxy)-1H-indole, are also claimed.
ACCESSION NUMBER: 2003:796476 HCAPLUS
DOCUMENT NUMBER: 139:307677
TITLE: Preparation of indole derivatives for use as angiogenesis inhibitors
INVENTOR(S): Arnould, Jean Claude
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082271	A2	20031009	WO 2003-GB1405	20030331
WO 2003082271	A3	20040325		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,				

L8 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
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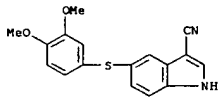
EP 1515716	A2	20050323	EP 2003-710036	20030331
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005159474	A1	20050721	US 2003-509633	20030331
JP 2005532280	T2	20051027	JP 2003-579809	20030331

PRIORITY APPLN. INFO.:

EP 2002-290822	A	20020403
WO 2003-GB1405	W	20030331

OTHER SOURCE(S): MARPAT 139:307677
IT **611228-57-0P 611228-58-1P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of indole derivs. for medicament to inhibit and/or reverse and/or alleviate symptoms of angiogenesis and/or any disease state associated with angiogenesis)
RN 611228-57-0 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-[(3,4-dimethoxyphenyl)thio]- (9CI) (CA INDEX NAME)



RN 611228-58-1 HCAPLUS
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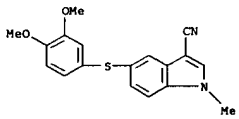
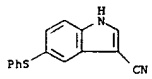
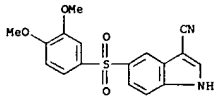


IT **611228-45-6P 611228-59-2P 611228-60-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. for medicament to inhibit and/or reverse and/or alleviate symptoms of angiogenesis and/or any disease state associated with angiogenesis)
RN 611228-45-6 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-(phenylthio)- (9CI) (CA INDEX NAME)

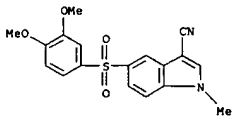
L8 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 611228-59-2 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-[(3,4-dimethoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 611228-60-5 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-[(3,4-dimethoxyphenyl)sulfonyl]-1-methyl- (9CI) (CA INDEX NAME)



L8 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 07 Dec 2001
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention provides a compound of formula I [R1, R2 = independently H, halogen, CN, hydrocarbyl group or a group of formula II: wherein W = aryl or heterocyclic group, R4 = independently H, halogen, OH, amino, alkanoylamino, OPO3H2, or hydrocarbyl group, wherein the amino group is optionally substituted by an amino acid residue and the hydroxy group is optionally esterified or two R4 groups together form an optionally substituted cyclic or heterocyclic group; X = S, O, S(O), S(O2), or NH; p = 0,1,2,3 or 4; q = 1,2,3 or 4; R3, R10 = independently H, lower alkyl or a group of formula III: wherein Y = NH, O or a bond; Z = NH, O, C(O) or a bond; r = 0,1,2,3 or 4; t = 0 or 1; R6 = H, hydrocarbyl group or a group of formula IV: wherein n = 1,2,3,4,5 or 6; R7, R8 = independently H or hydrocarbyl group; R11 = H or lower alkyl; or a salt or solvate thereof; provided that: when R1 = unsubstituted SPh, R2,R10, and R11 = H then R3 is neither H nor- C(O)OEt; and R1, R2 and R3 are not all H.]. Thus, 5-(4-hydroxyphenylsulphanyl)-2-amino-1H-indole-3-carbonitrile (V) was produced from 4-(4-hydroxyphenylsulphanyl)-2-chloro-nitrobenzene and malononitrile in 62% yield. Such compds. are predicted to cause the selective destruction of tumor vasculature and they may therefore be used to inhibit and/or reverse, and/or alleviate symptoms of angiogenesis and/or any disease state associated with angiogenesis. For example, V has an activity of 36% in the colchicine binding site competitive assay at 10 μM and 55% in the cell detachment assay at 100 μM and 6-methyl-5-fluoro-2-amino-1H-indole-3-carbonitrile (VI) has an activity of 31% in the colchicine binding site competitive assay at 10 μM and 34% in the cell detachment assay at 100 μM.

ACCESSION NUMBER: 2001:886064 HCAPLUS
DOCUMENT NUMBER: 136:20012
TITLE: Synthetic preparation of indole derivatives with potential vascular damaging activity
INVENTOR(S): Arnould, Jean-Claude; Bird, Thomas Geoffrey; Boyle, Francis Thomas; Blakey, David Charles
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca Uk Ltd.
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

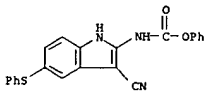
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001092224	A1	20011206	WO 2001-GB2335	20010525

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,

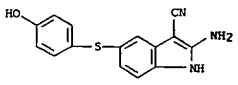
L8 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2406979	AA	20011206	CA 2001-2406979		20010525
EP 1289952	A1	20030312	EP 2001-931944		20010525
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
BR 2001011230	A	20030610	BR 2001-11230		20010525
JP 2003535078	T2	20031125	JP 2002-500839		20010525
NZ 522074	A	20040625	NZ 2001-522074		20010525
ZA 2002008938	A	20040204	ZA 2002-8938		20021104
US 2003216356	A1	20031120	US 2002-276347		20021113
NO 2002005696	A	20021127	NO 2002-5696		20021127
PRIORITY APPLN. INFO.:			EP 2000-401551	A	20000531
			EP 2000-402956	A	20001025
			WO 2001-GB2335	W	20010525

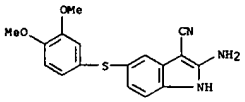
OTHER SOURCE(S): MARPAT 136:20012
IT **378236-69-2P 378236-71-6P 378236-73-8P**
378236-76-1P 378236-78-3P 378236-79-4P
378236-87-4P 378236-93-2P 378236-94-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(indole derivs. with potential vascular damaging activity)
RN 378236-69-2 HCAPLUS
CN Carbamic acid, [3-cyano-5-(phenylthio)-1H-indol-2-yl]-, phenyl ester (9CI) (CA INDEX NAME)



RN 378236-71-6 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-[(4-hydroxyphenyl)thio]- (9CI) (CA INDEX NAME)

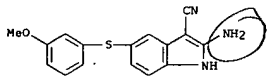


RN 378236-73-8 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-[(3,4-dimethoxyphenyl)thio]- (9CI) (CA INDEX NAME)

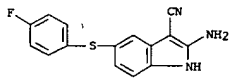


Dup 5 of 21

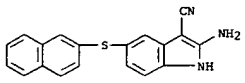
L8 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 378236-76-1 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-[(3-methoxyphenyl)thio]- (9CI) (CA INDEX NAME)



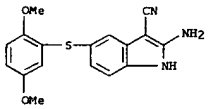
RN 378236-78-3 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-[(4-fluorophenyl)thio]- (9CI) (CA INDEX NAME)



RN 378236-79-4 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-(2-naphthalenylthio)- (9CI) (CA INDEX NAME)

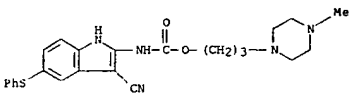


RN 378236-87-4 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-[(2,5-dimethoxyphenyl)thio]- (9CI) (CA INDEX NAME)



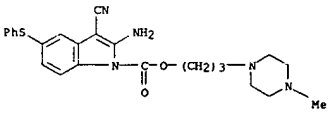
RN 378236-93-2 HCAPLUS
CN Carbamic acid, [3-cyano-5-(phenylthio)-1H-indol-2-yl]-, 3-(4-methyl-1-piperazinyl)propyl ester, hydrochloride (5:2) (9CI) (CA INDEX NAME)

L8 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



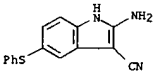
●2/5 HCl

RN 378236-94-3 HCAPLUS
CN 1H-Indole-1-carboxylic acid, 2-amino-3-cyano-5-(phenylthio)-, 3-(4-methyl-1-piperazinyl)propyl ester, hydrochloride (10:47) (9CI) (CA INDEX NAME)



●47/10 HCl

IT **91531-98-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(indole derivs. with potential vascular damaging activity)
RN 91531-98-5 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-(phenylthio)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

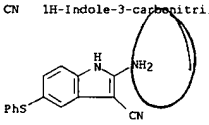
L8 ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 25 Aug 2000
AB Treatment of warm-blooded animals having a tumor or non-malignant hypervascularization, by administering a sufficient amount of a cytotoxic agent formulated into a phosphate prodrug form having substrate specificity for microvessel phosphatases, so that microvessels are destroyed preferentially over other normal tissues, because the less cytotoxic prodrug form is converted to the highly cytotoxic dephosphorylated form.
ACCESSION NUMBER: 2000:592560 HCAPLUS
DOCUMENT NUMBER: 133:198575
TITLE: Compositions and methods for use in targeting vascular destruction
INVENTOR(S): Pero, Ronald W.; Sherris, David
PATENT ASSIGNEE(S): Oxigene, Inc., USA
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000048606	A1	20000824	WO 2000-US3996	20000216
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2358925	AA	20000824	CA 2000-2358925	20000216
CA 2455956	AA	20000824	CA 2000-2455956	20000216
EP 1152764	A1	20011114	EP 2000-914606	20000216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002537262	T2	20021105	JP 2000-599398	20000216
US 6538038	B1	20030325	US 2000-505402	20000216
AU 776511	B2	20040909	AU 2000-35973	20000216
EP 1547603	A2	20050629	EP 2004-76582	20000216
EP 1547603	A3	20050727		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
US 2003109500	A1	20030612	US 2002-218833	20020814
US 6956054	B2	20051016		

PRIORITY APPLN. INFO.: US 1999-120478P P 19990218
CA 2000-2358925 A3 20000216
EP 2000-914606 A3 20000216
US 2000-505402 A1 20000216
WO 2000-US3996 W 20000216

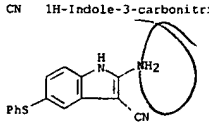
OTHER SOURCE(S): MARPAT 133:198575
IT **91531-98-5D**, Amphethinile, derivs.
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(prodrugs for use in targeting vascular destruction)

L8 ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 91531-98-5 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-(phenylthio)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

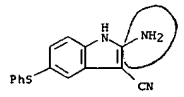
L8 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 29 Nov 1999
AB Preclin. toxicol. studies are performed prior to phase I trials with novel cancer therapeutics to identify a safe clin. starting dose and potential human toxicities. The primary aim of this study was to evaluate the ability of rodent-only toxicol. studies to identify a safe phase I trial starting dose. In addition, the ability of murine studies to predict the quant. and qual. human toxicol. of cancer therapeutics was studied. Data for 25 cancer drugs were collated for which the preclin. and clin. routes and schedules of administration were either the same (22/25), or closely matched. The maximum tolerated dose/dose lethal to 10% of mice (MTD/LD10) was identified for 24 drugs, and in patients the maximum administered dose (MAD) was associated with dose-limiting toxicity (DLT) in initial clin. trials with 20 compds. In addition, for 13 agents, the toxicity of the drug at one-tenth the mouse MTD/LD10 was also investigated in rats, following repeated administration (20 doses). A phase I trial starting dose of one-tenth the mouse MTD/LD10 (mg m-2) was, or would have been, safe for all 25 compds. With the exception of nausea and vomiting, which cannot be assessed in rodents, other common DLTs were accurately predicted by the murine studies (i.e. 7/7 haematol. and 3/3 neurol. DLTs). For two of the 13 drugs studied in rats, repeated administration of one-tenth the mouse MTD/LD10 was toxic, leading to a reduction in the phase I trial starting dose; however, one-tenth the mouse MTD/LD10 was subsequently tolerated in patients. For the 20 drugs where clin. DLT was reached, the median ratio of the human MAD to the mouse MTD/LD10 was 2.6 (range 0.2-16) and the median ratio of the clin. starting dose to the MAD was 35 (range 2.3-160). In contrast, in 13 subsequent phase I trials with 11 of the initial 25 drugs, the median ratio of the clin. starting dose to the MAD was 2.8 (range 1.6-56), emphasizing the value of early clin. data in rapidly defining the dose range for therapeutic studies. For all 25 drugs studied, rodent-only toxicol. provided a safe and rapid means of identifying the phase I trial starting dose and predicting commonly encountered DLTs. This study has shown that the routine use of a non-rodent species in preclin. toxicol. studies prior to initial clin. trials with cancer therapeutics is not necessary.
ACCESSION NUMBER: 1999:755363 HCAPLUS
DOCUMENT NUMBER: 131:346228
TITLE: Evaluation of rodent-only toxicology for early clinical trials with novel cancer therapeutics
AUTHOR(S): Newell, D. R.; Burtles, S. S.; Fox, B. W.; Jodrell, D. I.; Connors, T. A.
CORPORATE SOURCE: Medical School, University of Newcastle, Newcastle, UK
SOURCE: British Journal of Cancer (1999), 81(5), 760-768
CODEN: BJCAAI; ISSN: 0007-0920
PUBLISHER: Churchill Livingstone
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **91531-98-5**, Amphethinile
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(evaluation of rodent-only toxicol. for early clin. trials with novel cancer therapeutics)
RN 91531-98-5 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-(phenylthio)- (9CI) (CA INDEX NAME)



L8 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

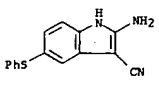
REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 11 Aug 1998
AB Series of diaryl ethers, amines and amides have been synthesized and tested for antitumor activity. These diaryl compds. possess some of the structural features of combretastatin A-4 (a potent antimitotic agent). They were designed to discover whether transferring these structural motifs from stilbenes to heterosubstituted diaryl compds. would enhance their biochem. activities. Mol. modeling studies suggested that these diaryl compds. could adopt conformations similar to combretastatin A-4. However, although some agents were cytotoxic and others could interact with tubulin, none were as potent as combretastatin A-4.
ACCESSION NUMBER: 1998:496731 HCAPLUS
DOCUMENT NUMBER: 129:211245
TITLE: Antimitotic activity of diaryl compounds with structural features resembling combretastatin A-4
AUTHOR(S): Aleksandrzak, Krzysztof; McGown, Alan T.; Hadfield, John A.
CORPORATE SOURCE: Cancer Research Campaign Section Drug Development Imaging, Paterson Institute Cancer Research, Christie Hospital NHS Trust, Manchester, M20 4BX, UK
SOURCE: Anti-Cancer Drugs (1998), 9(6), 545-550
CODEN: ANTDEV; ISSN: 0959-4973
PUBLISHER: Lippincott-Raven Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **91531-98-5**, Amphethinile
RL: PRP (Properties)
(antimitotic activity of diaryl compds. with structural features resembling combretastatin A-4)
RN 91531-98-5 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-(phenylthio)- (9CI) (CA INDEX NAME)

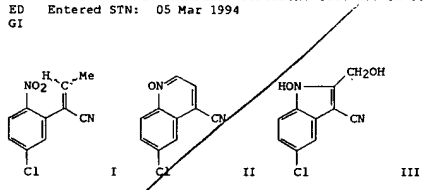


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

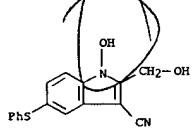
L8 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 26 Nov 1994
AB In 1986, the concept of pharmacokinetically guided dose escalation (PGDE) was proposed to predict the maximum tolerated dose (MTD) of an antitumor drug in humans from animal data. We have previously shown that antitumor drugs can be classified into two types, depending on their cytotoxic mechanisms: type 1 drugs, which are cell cycle phase-nonspecific agents, i.e., area under the curve for drug concentration in the plasma vs. time (AUC)-dependent drugs; and type 2 drugs, which are cell cycle phase-specific agents, i.e., those that are time-dependent. The validity of the assumption that the AUC at the dose lethal for 10% of mice administered drug (LD10) is equal to the AUC at MTD for humans, the premise on which PGDE is based, was examined for type 1 and 2 drugs. Findings in the literature, including those of Collins and coworkers, were retrospectively analyzed. The human/mouse ratios for the AUC were compared with each other and with the human/mouse dose ratios, based on milligram per m square of body surface area, the measurement currently used in clin. trials of antitumor drugs. For six of the type 1 drugs, the human/mouse ratio for the AUC of total drug (AUC) and that of unbound drug (AUCu), which has been considered a determinant of pharmacol. and toxicol. effects, were also compared. There was an excellent correlation between log AUC at LD10 for mice and log AUC at MTD for humans for type 1 drugs (r = .898), but not for type 2 drugs (r = .677). For type 1 drugs, the correlation between mouse AUC at LD10 and human AUC at MTD was better for unbound drug (r = .961) than for total drug (r = .892). The authors conclude that PGDE is useful for type 1 drugs; differences in protein binding between species should, however, be considered when using this method.
ACCESSION NUMBER: 1994:644979 HCAPLUS
DOCUMENT NUMBER: 121:244979
TITLE: Application of pharmacokinetically guided dose escalation with respect to cell cycle phase specificity
AUTHOR(S): Fuse, Eiichi; Kobayashi, Satoshi; Inaba, Makoto; Suzuki, Hiroshi; Sugiyama, Yuichi
CORPORATE SOURCE: Pharmaceutical Research Laboratories, Kyowa Hakko Kogyo Co., Ltd., Sunto-Gun, 411, Japan
SOURCE: Journal of the National Cancer Institute (1994), 86(13), 989-96
CODEN: JNCIEQ; ISSN: 0027-8874
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **91531-98-5**, Amphethinile
RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(application of pharmacokinetically guided dose escalation for antitumor drugs with respect to cell cycle phase specificity)
RN 91531-98-5 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-(phenylthio)- (9CI) (CA INDEX NAME)



L8 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 05 Mar 1994
GI

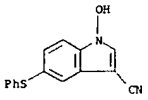


AB Nitriles and esters of 2-(o-nitroaryl)crotonic acids are converted under basic conditions into substituted quinoline N-oxides, N-hydroxyindoles and N-hydroxy-2-hydroxymethylindoles. Factors governing the reaction course and mechanistic pathways are discussed. E.g., treating I with NaOH/MeOH gave 77% quinoline N-oxide II. Treatment of I with K2CO3/MeOH gave 67% indole III.
ACCESSION NUMBER: 1994:106724 HCAPLUS
DOCUMENT NUMBER: 120:106724
TITLE: Reactions of organic anions. 197. Transformations of o-nitroarylallyl carbanions. Synthesis of quinoline N-oxides and N-hydroxyindoles
AUTHOR(S): Wrobel, Zbigniew; Makosza, Mieczyslaw
CORPORATE SOURCE: Inst. Org. Chem., Pol. Acad. Sci., Warsaw, 01-224, Pol.
SOURCE: Tetrahedron (1993), 49(24), 5315-26
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:106724
IT **152562-39-5P 152562-46-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 152562-39-5 HCAPLUS
CN 1H-Indole-3-carbonitrile, 1-hydroxy-2-(hydroxymethyl)-5-(phenylthio)- (9CI) (CA INDEX NAME)

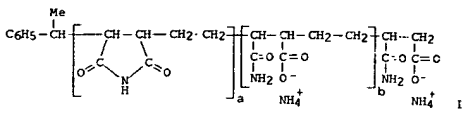


RN 152562-46-4 HCAPLUS
CN 1H-Indole-3-carbonitrile, 1-hydroxy-5-(phenylthio)- (9CI) (CA INDEX NAME)

L8 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L8 ANSWER 9 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 20 Mar 1992
GI

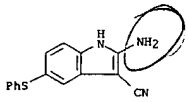


AB Half-amide:half-imide copolymers comprising ethylene and maleic anhydride moieties (structure given), specifically carbetimer (I; a/b = 1:2-5), decrease the cytotoxic side effects of neoplasm inhibitors. Mice treated i.v. with 21 mg adriamycin/kg died within 5 days. When 1700 mg I/kg was administered concomitantly, no lethality was shown for >30 days.

ACCESSION NUMBER: 1992:99301 HCAPLUS
DOCUMENT NUMBER: 116:99301
TITLE: Maleic anhydride copolymers as antidotes for the cytotoxicity of neoplasm inhibitors
INVENTOR(S): Bach, Acdalan; Shanahan, William R., Jr.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 393575	A1	19901024	EP 1990-107246	19900417
EP 393575	B1	19940316		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2014732	AA	19901017	CA 1990-2014732	19900417
JP 02292227	A2	19901203	JP 1990-101530	19900417
AT 102838	E	19940415	AT 1990-107246	19900417
ES 2062155	T3	19941216	ES 1990-107246	19900417
PRIORITY APPLN. INFO.:			US 1989-339503 A	19890417
			EP 1990-107246 A	19900417

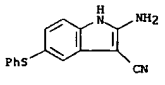
OTHER SOURCE(S): MARPAT 116:99301
IT **91531-98-5**, Amphethinile
RL: PRP (Properties)
(cytotoxicity of, maleic anhydride copolymer antidote for)
RN 91531-98-5 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-(phenylthio)- (9CI) (CA INDEX NAME)



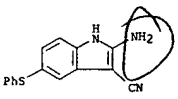
L8 ANSWER 9 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L8 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 28 Oct 1989
AB The novel agents amphethinile and combretastatin A4 are shown to be very similar to colchicine in their interactions with purified tubulin. All 3 agents can inhibit tubulin assembly at similar treatment levels and have comparable affinity consts. for tubulin. Amphethinile and combretastatin A4 are capable of displacing colchicine but not vinblastine from tubulin. A comparison of the structures of these agents shows that whereas colchicine and combretastatin A4 contain a trimethoxybenzene group (a moiety also found in other colchicine-like agents such as podophyllotoxins and steganacin) no obvious similarity is seen from amphethinile. The 3-dimensional structures of these agents, determined from either crystallog. data or by energy minimization procedures, show, however, that all 3 agents consist of 2 planar, or almost planar, ring systems which are tilted with respect to each other. Using computer graphic techniques it can be shown that their ring systems are superimposable and that the planar sections of each mol. are at an angle of 50-60° to each other. It is proposed that the angular bicyclic structure of these agents is one determining factor for their efficient binding to tubulin.

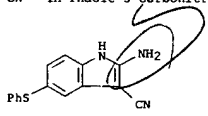
ACCESSION NUMBER: 1989:546274 HCAPLUS
DOCUMENT NUMBER: 111:146274
TITLE: Structural and biochemical comparison of the antimitotic agents colchicine, combretastatin A4 and amphethinile
AUTHOR(S): McGown, A. T.; Fox, B. W.
CORPORATE SOURCE: Paterson Inst. Cancer Res., Christie Hosp. Holt Radium Inst., Withington/Manchester, M20 9BX, UK
SOURCE: Anti-Cancer Drug Design (1989), 3(4), 249-54
CODEN: ACDDEA; ISSN: 0266-9536
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **91531-98-5**, Amphethinile
RL: BIOL (Biological study)
(tubulin binding by, structure in relation to)
RN 91531-98-5 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-(phenylthio)- (9CI) (CA INDEX NAME)



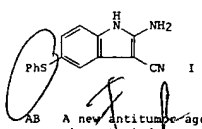
L8 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 03 Sep 1989
AB The antitumor agent amphethinile is shown to inhibit tubulin assembly in vitro. This agent is capable of displacing colchicine but not vinblastine from tubulin and causes a stimulation in GTPase activity in vitro. The affinity constant for the association of this drug with tubulin has been determined
(Ka = 1.3 + 106 M-1). Amphethinile belongs to the class of agents which share a common binding site with colchicine on the tubulin mol. Whether impairment of microtubular function is the mechanism by which this agent exerts its anticancer action is discussed.
ACCESSION NUMBER: 1989:470449 HCAPLUS
DOCUMENT NUMBER: 111:70449
TITLE: Interaction of the novel agent amphethinile with tubulin
AUTHOR(S): McGown, A. T.; Fox, B. W.
CORPORATE SOURCE: Paterson Inst. Cancer Res., Christie Hosp., Manchester, M20 9BX, UK
SOURCE: British Journal of Cancer (1989), 59(6), 865-8
CODEN: BJCAAI; ISSN: 0007-0920
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **91531-98-5**, Amphethinile
RL: BIOL (Biological study)
(tubulin interaction with, antitumor mechanism in relation to)
RN 91531-98-5 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-(phenylthio)- (9CI) (CA INDEX NAME)



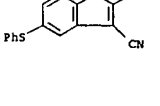
L8 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 21 Jul 1989
AB The novel agents amphethinile and combretastatin A4 were very similar to colchicine in their interactions with purified tubulin. All 3 agents inhibited tubulin assembly at similar treatment levels and had comparable affinity consts. for tubulin. Amphethinile and combretastatin A4 were capable of displacing colchicine but not vinblastine from tubulin. A comparison of the structures of these agents showed that whereas colchicine and combretastatin A4 comparison of the structures of these agents showed that whereas colchicine and combretastatin A4 contain a trimethoxybenzene group (a moiety also found in other colchicine-like agents such as podophyllotoxins and steganacin) no obvious similarity was seen for amphethinile. The 3-dimensional structures of these agents, determined from either crystallog. data or by energy minimization procedures, showed, however, that all 3 agents consist of 2 planar, or almost planar, ring systems which were tilted with respect to each other. Using computer graphic techniques it was shown that their ring system were superimposable and that the planar sections of each mol. were at an angle of 50-60° to each other. Thus the angular bicyclic structure of these agents is one determining factor for their efficient binding to tubulin.
ACCESSION NUMBER: 1989:417138 HCAPLUS
DOCUMENT NUMBER: 111:17138
TITLE: Structural and biochemical comparison of the anti-mitotic agents colchicine, combretastatin A4 and amphethinile
AUTHOR(S): McGown, A. T.; Fox, B. W.
CORPORATE SOURCE: Paterson Inst. Cancer Res., Christie Hosp., Withington/Manchester, M20 9BX, UK
SOURCE: Anti-Cancer Drug Design (1989), 3(4), 249-54
CODEN: ACDDEA; ISSN: 0266-9536
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **91531-98-5**, Amphethinile
RL: BIOL (Biological study)
(tubulin assembly inhibition by, structure in relation to)
RN 91531-98-5 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-(phenylthio)- (9CI) (CA INDEX NAME)



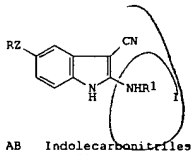
L8 ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 09 Jul 1988
GI



AB A new antitumor agent, amphethinile (I), is described, which has been shown to induce a G2/M block in murine leukemia cells in vitro. In addition this agent has been shown to be equally toxic toward parental and daunorubicin-resistant P388 cells in vitro. These resistant cells are highly cross-resistant to the established antimitotic agents vincristine and vinblastine. Drug accumulation studies in cells have shown that whereas resistance in this cell line is associated with decreased drug accumulation in the case of daunorubicin, vincristine and vinblastine, this effect is much less pronounced for amphethinile. It is proposed that amphethinile is a poor substrate for the drug efflux process associated with the pleiotropic resistance mechanism operating in these cells. The data suggest that cell sensitivity towards amphethinile differs qual. from that of the vinca alkaloids and anthracycline. Pharmacokinetic studies in male mice were undertaken. Area under the curve values (AUC), show that levels of .apprx.313 μg/L/h were attained at doses equivalent to the LD10. The distribution half-life is .apprx.8 min after a bolus i.v. injection. The elimination half-life was .apprx.100 min and relatively independent of dose level.
ACCESSION NUMBER: 1988:400328 HCAPLUS
DOCUMENT NUMBER: 109:328
TITLE: Pre-clinical studies of a novel anti-mitotic agent, amphethinile
AUTHOR(S): McGown, A. T.; Ewen, C.; Smith, D. B.; Fox, B. W.
CORPORATE SOURCE: Paterson Inst. Cancer Res., Christie Hosp., Manchester, M20 9BX, UK
SOURCE: British Journal of Cancer (1988), 57(2), 157-9
CODEN: BJCAAI; ISSN: 0007-0920
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **91531-98-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(as neoplasm inhibitor, pharmacokinetics and resistance in relation to)
RN 91531-98-5 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-(phenylthio)- (9CI) (CA INDEX NAME)



L8 ANSWER 14 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 29 Sep 1984
GI

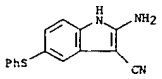


AB Indolecarbonitriles I [Z = O, S; R = Ph, halo-, alkyl-, alkoxy-, or (trifluoromethyl)phenyl; R1 = H, carbalkoxy] were prepared and were useful as anticancer agents. Thus, CH2(CN)2 was arylated by 5,2-PhS(O2N)C6H3Cl and NaOH to yield 5,2-Ph(O2N)C6H3C(CN)2Na, which was treated with Na dithionite and NaHCO3 in DMF to give I (R = Ph, Z = S, R1 = H), which had antitumor activity.
ACCESSION NUMBER: 1984:510731 HCAPLUS
DOCUMENT NUMBER: 101:110731
TITLE: Indole derivatives
INVENTOR(S): Eakin, Murdoch Allan; Hayter, Anthony James; Furr, Barrington John Albert
PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

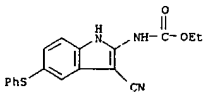
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 107963	A1	19840509	EP 1983-306439	19831024
EP 107963	B1	19870401		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
ZA 8307829	A	19840829	ZA 1983-7829	19831020
US 4533672	A	19850806	US 1983-545010	19831024
AT 26261	E	19870415	AT 1983-306439	19831024
AU 8320548	A1	19840503	AU 1983-20548	19831025
AU 563413	B2	19870709		
NO 8303918	A	19840430	NO 1983-3918	19831027
NO 163226	B	19900115		
NO 163226	C	19900425		
CA 1205078	A1	19860527	CA 1983-439878	19831027
FI 8303958	A	19840429	FI 1983-3958	19831028
FI 77653	B	19881230		
FI 77653	C	19890410		
JP 59095257	A2	19840601	JP 1983-201152	19831028
ES 526876	A1	19850501	ES 1983-526876	19831028
IL 70111	A1	19871130	IL 1983-70111	19831101
PRIORITY APPLN. INFO.:			GB 1982-30765 A	19821028
			EP 1983-306439 A	19831024

OTHER SOURCE(S): MARPAT 101:110731
IT **91531-98-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antitumor activity of)
RN 91531-98-5 HCAPLUS
CN 1H-Indole-3-carbonitrile, 2-amino-5-(phenylthio)- (9CI) (CA INDEX NAME)

L8 ANSWER 14 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT **91531-99-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 91531-99-6 HCAPLUS
CN Carbamic acid, [3-cyano-5-(phenylthio)-1H-indol-2-yl]-, ethyl ester (9CI)
(CA INDEX NAME)



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FULL ESTIMATED COST	76.60	521.85

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CA SUBSCRIBER PRICE	-10.50	-26.25

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NEWS 8	DEC 23	New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/ USPAT2
NEWS 9	JAN 13	IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS 10	JAN 13	New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to INPADOC
NEWS 11	JAN 17	Pre-1988 INPI data added to MARPAT
NEWS 12	JAN 17	IPC 8 in the WPI family of databases including WPIFV
NEWS 13	JAN 30	Saved answer limit increased
NEWS 14	JAN 31	Monthly current-awareness alert (SDI) frequency added to TULSA

NEWS EXPRESS JANUARY 03 CURRENT VERSION FOR WINDOWS IS V8.01,
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AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 USERS CAN OBTAIN THE UPGRADE TO V8.01 AT
http://download.cas.org/express/v8.0-Discover/

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DICTIONARY FILE UPDATES: 31 JAN 2006 HIGHEST RN 873191-05-0

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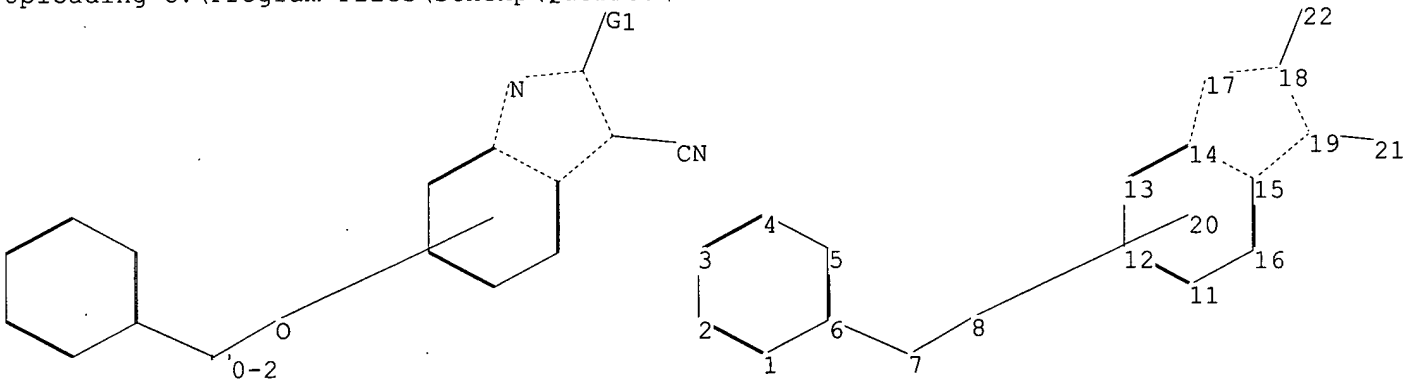

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chain bonds :

Ngrazier 10509633otheramend

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G1:H,Ak,O,C,OH,CN

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 11:Atom 12:Atom
13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:CLASS 21:CLASS
22:CLASS

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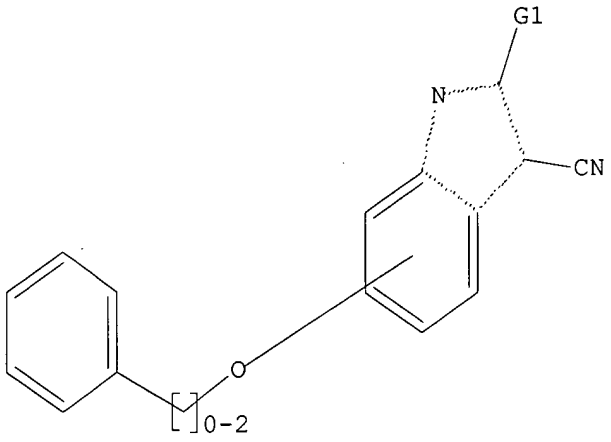

G1 H,Ak,O,C,OH,CN

Structure attributes must be viewed using STN Express query preparation.

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FULL ESTIMATED COST	166.94	167.15

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FILE COVERS 1907 - 1 Feb 2006 VOL 144 ISS 6
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substance identification.

=> s l3
L4 9 L3

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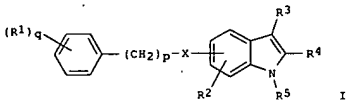
L4 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 10 Oct 2003
GI



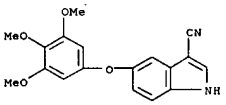
AB The invention relates to the use of a compound of formula (I) [R1 = independently halo, HO or its ester, (un)substituted NH2, alkanoylamino, OPO3H2, C1-4 alkoxy; X = O, S, SO, SO2; R2 = H, C1-4 alkyl, C1-4 alkoxy; R3, R4 = H, C1-4 alkyl, C1-4 alkanoyl, C1-4 alkoxycarbonyl, C1-4 alkoxycarbonyl-C1-4 alkyl, C1-4 alkoxycarbonylamino, optionally alkylated amino, amino-C1-4 alkyl, CONH2, carbamoyl-C1-4 alkyl, cyano, cyano-C1-4 alkyl, HO, hydroxy-C1-4 alkyl; R5 = H, C1-4 alkyl, a group of formula (CH2)tCO-Y-(CH2)r-Z-R8 (wherein Y = NH, O or a bond; Z = NH, O, CO, a bond; r = an integer from 0 to 4; t = 0, 1; R8 = H, C1-4 alkyl, C1-4 alkoxy, each (un)substituted aryl, 5 or 6 membered heterocyclyl, 5- or 6-membered heteroaryl); p = 0, 1; q = an integer from 0 to 3; with the proviso that: (i) when R3 is cyano then R4 cannot be an (un)substituted amino, and (ii) when q is 0, R3 is cyano and X is S then R4 is other than amino) or a salt, prodrug or solvate thereof, for the manufacture of a medicament to inhibit and/or reverse and/or alleviate symptoms of angiogenesis and/or any disease state associated with angiogenesis. The invention also relates to use of compds. I as medicaments and also to novel compds. I. The invention further provides pharmaceutical compns. of compds. I and processes for the synthesis of compds. I. A subset of the compds. I, e.g. 3-cyano-5-phenylsulfanyl-1H-indole, 3-cyano-5-phenoxy-1H-indole, 3-cyano-5-(4-hydroxyphenoxy)-1H-indole, 2-cyano-5-benzyloxy-1H-indole, 1-methyl-3-cyano-5-(4-hydroxy-3,5-dimethoxyphenoxy)-1H-indole, and 1-methyl-3-cyano-5-(4-phosphonoxy-3,5-dimethoxyphenoxy)-1H-indole, are also claimed.

ACCESSION NUMBER: 2003:796476 HCAPLUS
DOCUMENT NUMBER: 139:307677
TITLE: Preparation of indole derivatives for use as angiogenesis inhibitors
INVENTOR(S): Arnould, Jean Claude
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

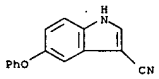
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082271	A2	20031009	WO 2003-GB1405	20030331
WO 2003082271	A3	20040325		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

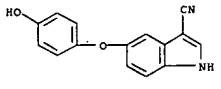
L4 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



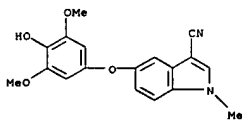
IT **611228-46-7P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of indole derivs. for medicament to inhibit and/or reverse and/or alleviate symptoms of angiogenesis and/or any disease state associated with angiogenesis)
RN 611228-46-7 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-phenoxy- (9CI) (CA INDEX NAME)



IT **611228-50-3P 611228-53-6P 611228-54-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. for medicament to inhibit and/or reverse and/or alleviate symptoms of angiogenesis and/or any disease state associated with angiogenesis)
RN 611228-50-3 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-(4-hydroxyphenoxy)- (9CI) (CA INDEX NAME)



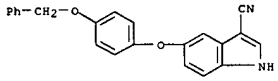
RN 611228-53-6 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-(4-hydroxy-3,5-dimethoxyphenoxy)-1-methyl- (9CI) (CA INDEX NAME)



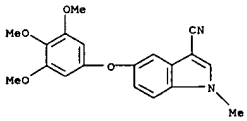
L4 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1515716 A2 20050323 EP 2003-710036 20030331
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
US 2005159474 A1 20050721 US 2003-509633 20030331
JP 2005532280 T2 20051027 JP 2003-579809 20030331
PRIORITY APPLN. INFO.: EP 2002-290822 A 20020403
WO 2003-GB1405 W 20030331

OTHER SOURCE(S): MARPAT 139:307677
IT **611228-75-2P 611228-77-4P 611228-80-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of indole derivs. for medicament to inhibit and/or reverse and/or alleviate symptoms of angiogenesis and/or any disease state associated with angiogenesis)
RN 611228-75-2 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-[4-(phenylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)

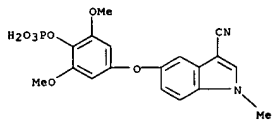


RN 611228-77-4 HCAPLUS
CN 1H-Indole-3-carbonitrile, 1-methyl-5-(3,4,5-trimethoxyphenoxy)- (9CI) (CA INDEX NAME)

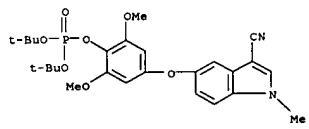


RN 611228-80-9 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-(3,4,5-trimethoxyphenoxy)- (9CI) (CA INDEX NAME)

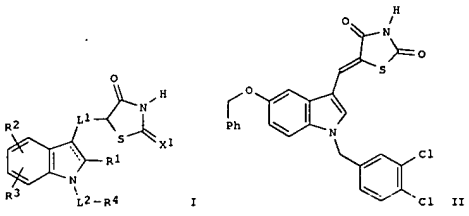
L4 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 611228-54-7 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-[3,5-dimethoxy-4-(phosphonooxy)phenoxy]-1-methyl- (9CI) (CA INDEX NAME)



IT **611228-55-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indole derivs. for medicament to inhibit and/or reverse and/or alleviate symptoms of angiogenesis and/or any disease state associated with angiogenesis)
RN 611228-55-8 HCAPLUS
CN Phosphoric acid, 4-[(3-cyano-1-methyl-1H-indol-5-yl)oxy]-2,6-dimethoxyphenyl bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 Jan 2001
GI



AB The title compds. [I; X1 = O, S, CH2, NR5 (wherein R5 = H, alkyl, aryl); L1 = a single or double bond, CH2, CH; R1 = H, OR5, SR5, etc.; R2, R3 = H, OH, halo, etc.; L2 = a bond, a linking group having 1-3 atoms selected from (un)substituted C, N, O, S; R4 = H, alkyl, alkaryl, etc.], useful in inhibiting telomerase activity and treatment of telomerase mediated conditions or diseases such as cancer, were prepared E.g., a 2-step synthesis of the indole II was given. The exemplified compds. I were tested for telomerase inhibition and showed IC50 of < 100 μM.

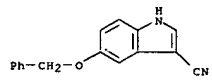
ACCESSION NUMBER: 2001:31498 HCAPLUS
DOCUMENT NUMBER: 134:86237
TITLE: Preparation of thiazolidinyl substituted indoles for the treatment of cancer
INVENTOR(S): Chin, Allison C.; Tolman, Richard L.; Nguyen, Mark Q.; Holcomb, Ryan
PATENT ASSIGNEE(S): Geron Corporation, USA
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002394	A1	20010111	WO 2000-US18112	20000630
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

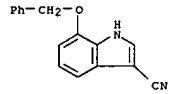
L4 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

EP 1109808	A1	20010627	EP 2000-946946	20000630
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6372742	B1	20020416	US 2000-608861	20000630
US 2002115700	A1	20020822	US 2002-77738	20020213
PRIORITY APPLN. INFO.:			US 1999-142173P	P 19990701
			US 2000-608861	A1 20000630
			WO 2000-US18112	W 20000630

OTHER SOURCE(S): MARPAT 134:86237
IT **194490-25-0 318295-30-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of thiazolidinyl substituted indoles for the treatment of cancer)
RN 194490-25-0 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

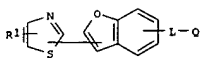


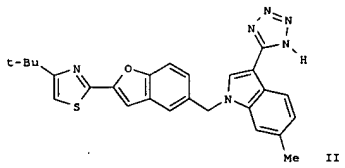
RN 318295-30-6 HCAPLUS
CN 1H-Indole-3-carbonitrile, 7-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 13 Aug 1997
GI





AB The title compds. [I; R1 = lower alkyl; L = single bond, (un)substituted lower alkylene; Q = (un)substituted heterocyclic group, lower alkoxy substituted with aryl] which possess activities as leukotriene and SRS-A antagonists or inhibitors, and are useful in the treatment and/or prevention of allergy or inflammation, were prepared Thus, treatment of 4-tert-butyl-2-(5-[(3-cyano-6-methylindol-1-yl)methyl]benzofuran-2-yl)thiazole with NaN3 and NH4Cl in DMF afforded the title compound II which showed IC50 of < 5 nM against 3H-leukotriene D4 receptor binding.

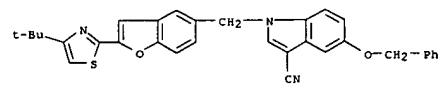
ACCESSION NUMBER: 1997:513631 HCAPLUS
DOCUMENT NUMBER: 127:205572
TITLE: Preparation of thiazolylbenzofurans as leukotriene and SRS-A antagonists or inhibitors
INVENTOR(S): Matsuo, Masaaki; Okumura, Kazuo; Shigenaga, Shinji; Nishimura, Hiroaki; Matsuda, Hiroshi; Hagiwara, Daijiro; Terasaka, Tadashi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 244 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9727190	A1	19970731	WO 1997-JP73	19970117
W: AU, CA, CN, HU, JP, KR, MX, SG, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
ZA 9700415	A	19970730	ZA 1997-415	19970117
CA 2244189	AA	19970731	CA 1997-2244189	19970117
AU 9713991	A1	19970820	AU 1997-13991	19970117
EP 880519	A1	19981202	EP 1997-900432	19970117
EP 880519	B1	20020417		

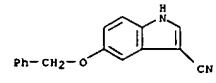
L4 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI

CN 1209809	A	19990303	CN 1997-191798	19970117
JP 2000503984	T2	20000404	JP 1997-526720	19970117
EP 1170009	A2	20020109	EP 2001-123263	19970117
EP 1170009	A3	20020116		
EP 1170009	B1	20040407		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
TW 474811	B	20020201	TW 1997-86100473	19970117
AT 216384	E	20020515	AT 1997-900432	19970117
ES 2171878	T3	20020916	ES 1997-900432	19970117
AT 263561	E	20040415	AT 2001-123263	19970117
US 5994378	A	19991130	US 1998-101766	19980721
PRIORITY APPLN. INFO.:			GB 1996-1235	A 19960122
			AU 1996-1111	A 19960718
			AU 1996-9241	A 19960412
			EP 1997-900432	A3 19970117
			WO 1997-JP73	W 19970117

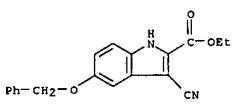
OTHER SOURCE(S): MARPAT 127:205572
IT **194487-21-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of thiazolylbenzofurans as leukotriene and SRS-A antagonists or inhibitors)
RN 194487-21-3 HCAPLUS
CN 1H-Indole-3-carbonitrile, 1-[[2-[4-(1,1-dimethylethyl)-2-thiazolyl]-5-benzofuranyl]methyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



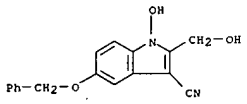
IT **194490-25-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thiazolylbenzofurans as leukotriene and SRS-A antagonists or inhibitors)
RN 194490-25-0 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



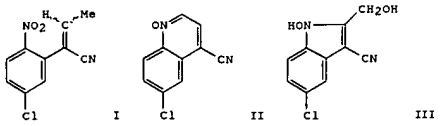
L4 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 24 Nov 1995
AB This study presents the synthesis of new indoles, pyridazino[4,5-b]-indole, and pyridazino[4,5-a]indole analogs as well as a study of their in vitro activity as inhibitors of different phosphodiesterases isolated from dog cardiac tissue, dog aorta, and bovine platelets; the study of their activity as inhibitors of platelet aggregation in guinea pig whole blood, with ADP and arachidonic acid (AA) as pro-aggregants, is also included. The selected compds. 8-benzyloxy-3,4-dihydro-1-(3,4,5-trimethoxy)benzylideneaminopyridazino[4,5-b]indole, and 8-benzyloxy-4-[(3,5-dimethyl)prazolyl]pyridazino[4,5-b]indole present an interesting profile as potential inodilators, with a complementary beneficial activity as inhibitors of the aggregation, activities which could possibly be related to the inhibition of the PDEs. Among the other compds. studied, 8-benzyloxy-3,4-dihydro-1-[4-(methyl)piperazino]acetamidopyridazino[4,5-b]indol-4-one and 8-benzyloxy-3,4-dihydro-1-[4-(2-methoxyphenyl)piperazino]acetamidopyridazino[4,5-b]indol-4-one stood out as inhibitors of platelet aggregation, with a mechanism that could possibly be related to the AA cascade.
ACCESSION NUMBER: 1995:945866 HCAPLUS
DOCUMENT NUMBER: 124:75532
TITLE: New indole and pyridazinoindole analogs - synthesis and study as inhibitors of phosphodiesterases and as inhibitors of blood platelet aggregation
AUTHOR(S): Monge, Antonio; Navarro, Maria-Eugenia; Font, Maria; Santiago, Esteban; Alberdi, Elena; Martinez-Irujo, Juan-Jose
CORPORATE SOURCE: Cent. Invest. Farmacobiol. Aplicada, Univ. Navarra, Pamplona, 31080, Spain
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1995), 328(10), 689-98
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: VCH
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **40432-13-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in preparation of indole and pyridazinoindole analogs as inhibitors of phosphodiesterases and blood platelet aggregation)
RN 40432-13-1 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 3-cyano-5-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



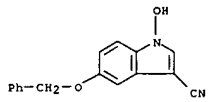
L4 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 05 Mar 1994
GI

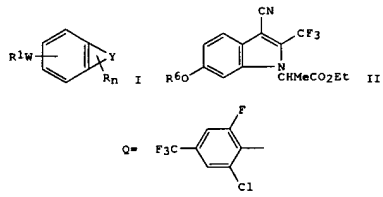


AB Nitriles and esters of 2-(o-nitroaryl)crotonic acids are converted under basic conditions into substituted quinoline N-oxides, N-hydroxyindoles and N-hydroxy-2-hydroxymethylindoles. Factors governing the reaction course and mechanistic pathways are discussed. E.g., treating I with NaOH/MeOH gave 77% quinoline N-oxide II. Treatment of I with K2CO3/MeOH gave 67% indole III.
ACCESSION NUMBER: 1994:106724 HCAPLUS
DOCUMENT NUMBER: 120:106724
TITLE: Reactions of organic anions. 197. Transformations of o-nitroarylallyl carbanions. Synthesis of quinoline N-oxides and N-hydroxyindoles
AUTHOR(S): Wrobel, Zbigniew; Makosza, Mieczyslaw
CORPORATE SOURCE: Inst. Org. Chem., Pol. Acad. Sci., Warsaw, 01-224, Pol.
SOURCE: Tetrahedron (1993), 49(24), 5315-26
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:106724
IT **152562-12-4P 152562-18-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 152562-12-4 HCAPLUS
CN 1H-Indole-3-carbonitrile, 1-hydroxy-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 152562-18-0 HCAPLUS
CN 1H-Indole-3-carbonitrile, 1-hydroxy-2-(hydroxymethyl)-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 30 Mar 1993
GI

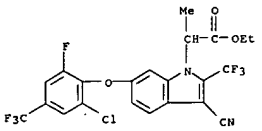


AB Title compds. [I; ≥1 of R = CR2R3XR4 and the others = OH, alkoxy, alkyl, halo, etc.; R1 = aryl, heterocyclyl; R2, R3 = H, alkyl, alkenyl, halo, etc.; R4 = cyano, CO2H, alkoxycarbonyl, CHO, CH2OH, etc.; W = O, NH, alkylimino; X = bond, CH2, CH2CH2, CH:CH, COCH2, etc.; Y = atoms to complete a 5-membered (saturated) N-containing ring; n = 1-5] were prepared
Thus,
4-chloro-3-nitroanisole was condensed with NCCH2CO2Et and the product converted in 3 steps to 4-methoxy-2-(trifluoroacetamido)phenylacetonitrile which was cyclized and the product N-alkylated with BrCHMeCO2Et to give indolepropionate II (R6 = Me). The latter was O-demethylated and the product condensed with 5-chloro-3,4-difluorobenzotrifluoride to give II (R6 = Ph group Q) which gave 80-100% control of 5 weeds, e.g., Sorghum halepense, with 6-15% damage to rice and winter wheat at 0.25 kg/ha postemergent.
ACCESSION NUMBER: 1993:124391 HCAPLUS
DOCUMENT NUMBER: 118:124391
TITLE: Preparation of phenoxyindolealkanoates and analogs as herbicides
INVENTOR(S): Barton, John Edward Duncan; Cartwright, David; Mathews, Christopher John
PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK
SOURCE: Brit. UK Pat. Appl., 39 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2253848	A1	19920923	GB 1992-4887	19920305
PRIORITY APPLN. INFO.:			GB 1991-5677 A	19910319

OTHER SOURCE(S): MARPAT 118:124391
IT **145692-45-1P 145692-46-2P 145692-47-3P 145692-49-5P 145692-50-8P 145692-51-9P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide)

L4 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 145692-45-1 HCAPLUS
CN 1H-Indole-1-acetic acid, 6-[2-chloro-6-fluoro-4-(trifluoromethyl)phenoxy]-3-cyano-α-methyl-2-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 145692-46-2 HCAPLUS
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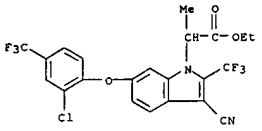
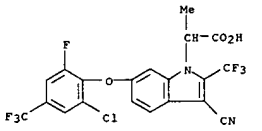
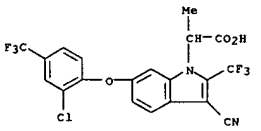


RN 145692-47-3 HCAPLUS
CN 1H-Indole-1-acetic acid, 6-[2-chloro-6-fluoro-4-(trifluoromethyl)phenoxy]-3-cyano-α-methyl-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

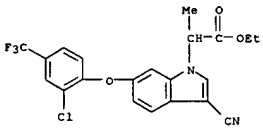


RN 145692-49-5 HCAPLUS
CN 1H-Indole-1-acetic acid, 6-[2-chloro-4-(trifluoromethyl)phenoxy]-3-cyano-α-methyl-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

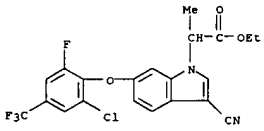
L4 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



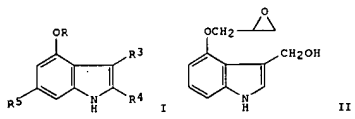
RN 145692-50-8 HCAPLUS
CN 1H-Indole-1-acetic acid, 6-[2-chloro-4-(trifluoromethyl)phenoxy]-3-cyano-α-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 145692-51-9 HCAPLUS
CN 1H-Indole-1-acetic acid, 6-[2-chloro-6-fluoro-4-(trifluoromethyl)phenoxy]-3-cyano-α-methyl-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
GI

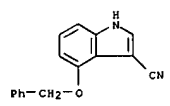


AB I [R = H, aralkyl, CH2CH(OR1)CH2R2 (R1 = H, acyl, aroyl; R2 = reactive group; or R1R2 = valence bond); R3 = -CN, CHO, CONH2, CH2OH, etc.; R4 = H, Me, CH2OR1; R5 = H, lower alkyl] were prepared Thus, 4-(benzyloxy)-3-formylindole was hydrogenolyzed, reduced with NaBH4, and treated with epichlorohydrin to give II.

ACCESSION NUMBER: 1982:199527 HCAPLUS
DOCUMENT NUMBER: 96:199527
TITLE: Indole derivatives
INVENTOR(S): Michel, Helmut; Kampe, Wolfgang; Ofenloch, Roland
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H. , Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 22 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

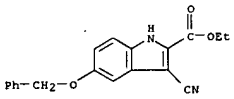
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 45910	A1	19820217	EP 1981-106017		19810731
EP 45910	B1	19841010			
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE					
DE 3029980	A1	19820311	DE 1980-3029980		19800808
US 4442295	A	19840410	US 1981-288077		19810729
AT 9794	E	19841015	AT 1981-106017		19810731
JP 57054168	A2	19820331	JP 1981-123184		19810807
PRIORITY APPLN. INFO.:			DE 1980-3029980	A	19800808
			EP 1981-106017	A	19810731

OTHER SOURCE(S): CASREACT 96:199527
IT **81779-24-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenolysis of)
RN 81779-24-0 HCAPLUS
CN 1H-Indole-3-carbonitrile, 4-(phenylmethoxy)- (9CI) (CA INDEX NAME)



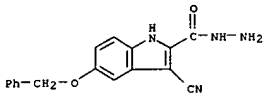
L4 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.
AB 5-Substituted derivs. (I) of 3-formyl-2-carbethoxyindole treated with MeNO2 and EtNO2 in AcOH containing AcONa gave almost quant. II (R = PhCH2O, MeO; R1 = H, Me). An analogous derivative was prepared from 3-formyl-2-carbethoxy-4,5-benzindole. Hydrolysis of the ester function in I occurred on refluxing with aqueous-alc. NaOH. II (R = PhCH2O; R1 = H) reduced with NaBH4 in EtOH yielded 62% III. I (5-benzyloxy derivative) treated with anisidine and aminoantipyrine yielded the corresponding Schiff bases. I (5-benzyloxy and 5-methoxy derivs.) with NH2OH-HCl and AcONa gave the corresponding oximes, which on treatment with Ac2O were converted into the corresponding 2-carbethoxy-3-cyano-5-alkoxyindoles (IV). IV and 80% NH2NH2.H2O refluxed in DMF gave >90% V (R = PhCH2O, MeO). A similar reaction of II and the Schiff bases and oximes derived from I resulted in hydrazinolysis of the double bond with the formation of VI (R = PhCH2O, MeO).
ACCESSION NUMBER: 1976:17065 HCAPLUS
DOCUMENT NUMBER: 84:17065
TITLE: Derivatives of 2-carbethoxyindole. IV. Derivatives of 3-formyl-2-carbethoxyindole
AUTHOR(S): Nantka-Namirski, Pawel; Ozdowska, Zofia
CORPORATE SOURCE: Inst. Org. Chem., Pol. Acad. Sci., Warsaw, Pol.
SOURCE: Acta Poloniae Pharmaceutica (1975), 32(3), 273-8
CODEN: APPHAX; ISSN: 0001-6837
DOCUMENT TYPE: Journal
LANGUAGE: Polish
OTHER SOURCE(S): CASREACT 84:17065
IT **40432-13-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with hydrazine)
RN 40432-13-1 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 3-cyano-5-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



IT **40432-15-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 40432-15-3 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 3-cyano-5-(phenylmethoxy)-, hydrazide (9CI) (CA INDEX NAME)

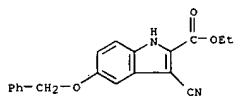
L4 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



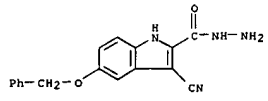
L4 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
AB The title hydrazides (I) (R = Me, benzyl) were prepared by dehydration of III with Ac2O to give II and by treating II with N2H4.H2O. Thus, 2.62 g III (R = Me) was refluxed 1 hr with Ac2O to give 2.15 g II (R = Me) which was refluxed with N2H4H2O and 15 ml DMF to give 91% I (R = Me).
ACCESSION NUMBER: 1973:136060 HCAPLUS
DOCUMENT NUMBER: 78:136060
TITLE: 3-Cyanoindolyl-2-carboxylic acid hydrazides
INVENTOR(S): Nantka-Namirski, Pawel; Ozdowska, Zofia
PATENT ASSIGNEE(S): Instytut Farmaceutyczny
SOURCE: Pol., 2 pp.
CODEN: POXXA7
DOCUMENT TYPE: Patent
LANGUAGE: Polish
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PL 65814		19720715	PL	19691017

IT **40432-13-1P 40432-15-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 40432-13-1 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 3-cyano-5-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 40432-15-3 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 3-cyano-5-(phenylmethoxy)-, hydrazide (9CI) (CA INDEX NAME)



Ngrazier 10509633otheramend

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	48.52	215.67

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.75	-6.75

FILE 'REGISTRY' ENTERED AT 19:35:32 ON 01 FEB 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 31 JAN 2006 HIGHEST RN 873191-05-0
DICTIONARY FILE UPDATES: 31 JAN 2006 HIGHEST RN 873191-05-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

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**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                                    *
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Structure search iteration limits have been increased. See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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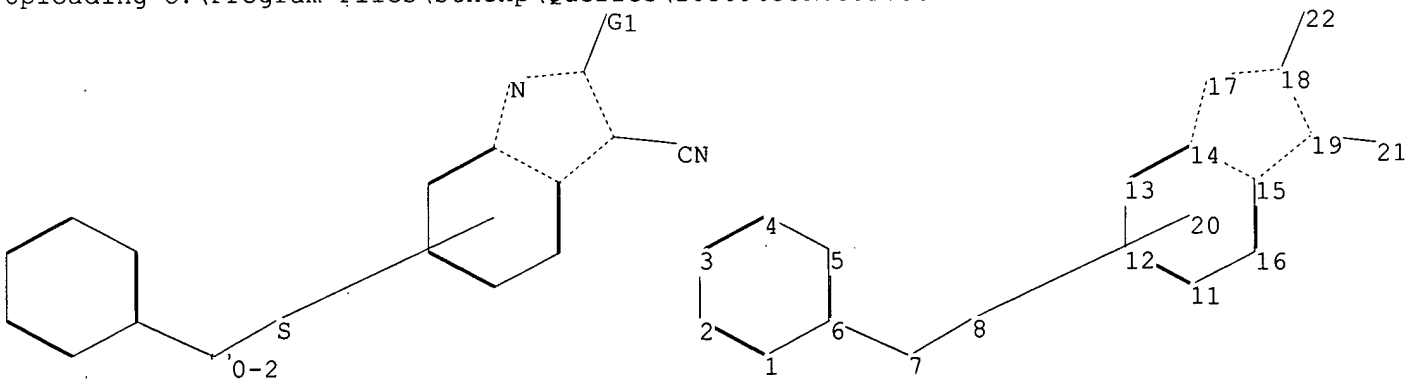


Ngrazier 10509633otheramend

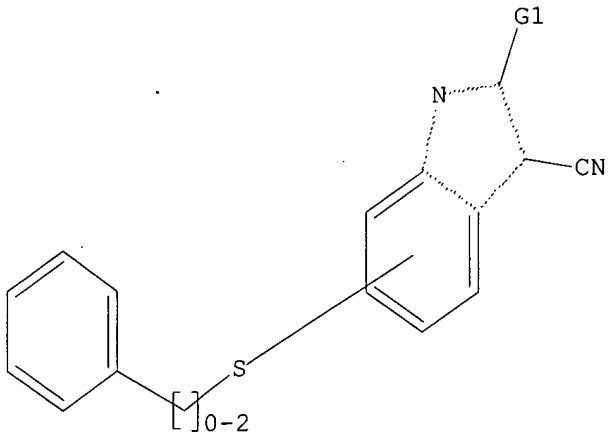
chain nodes :
7 8 21 22
ring nodes :
1 2 3 4 5 6 11 12 13 14 15 16 17 18 19
chain bonds :
6-7 7-8 18-22 19-21
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 14-17 15-16 15-19
17-18 18-19
exact/norm bonds :
7-8 14-15 14-17 15-19 17-18 18-19 18-22
exact bonds :
6-7 19-21
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 15-16

G1:H,Ak,O,C,OH,CN

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 11:Atom 12:Atom
13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:CLASS 21:CLASS
22:CLASS

L5 STRUCTURE UPLOADED

=> d l5
L5 HAS NO ANSWERS
L5 STR



G1 H,Ak,O,C,OH,CN

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 71 TO ITERATE

100.0% PROCESSED 71 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

Ngrazier 10509633otheramend

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 915 TO 1925
PROJECTED ANSWERS: 1 TO 80

L6 1 SEA SSS SAM L5

=> s l5 full
FULL SEARCH INITIATED 19:36:31 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1206 TO ITERATE

100.0% PROCESSED 1206 ITERATIONS 7 ANSWERS
SEARCH TIME: 00.00.01

L7 7 SEA SSS FUL L5

=> fil hcaplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	167.38	383.05

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-6.75

FILE 'HCAPLUS' ENTERED AT 19:36:37 ON 01 FEB 2006
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FILE COVERS 1907 - 1 Feb 2006 VOL 144 ISS 6
FILE LAST UPDATED: 31 Jan 2006 (20060131/ED)

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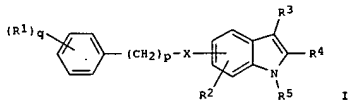
This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l7
L8 2 L7

=> d ed abs ibib hitstr 1-2

L8 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 10 Oct 2003
GI



AB The invention relates to the use of a compound of formula (I) [R1 = independently halo, HO or its ester, (un)substituted NH2, alkanoylamino, OPO3H2, C1-4 alkoxy; X = O, S, SO, SO2; R2 = H, C1-4 alkyl, C1-4 alkoxy; R3, R4 = H, C1-4 alkyl, C1-4 alkanoyl, C1-4 alkoxycarbonyl, C1-4 alkoxycarbonyl-C1-4 alkyl, C1-4 alkoxycarbonylamino, optionally alkylated amino, amino-C1-4 alkyl, CONH2, carbamoyl-C1-4 alkyl, cyano, cyano-C1-4 alkyl, HO, hydroxy-C1-4 alkyl; R5 = H, C1-4 alkyl, a group of formula (CH2)tCO-Y-(CH2)r-Z-R8 (wherein Y = NH, O or a bond; Z = NH, O, CO, a bond; r = an integer from 0 to 4; t = 0, 1; R8 = H, C1-4 alkyl, C1-4 alkoxy, each (un)substituted aryl, 5 or 6 membered heterocyclyl, 5- or 6-membered heteroaryl); p = 0, 1; q = an integer from 0 to 3; with the proviso that: (i) when R3 is cyano then R4 cannot be an (un)substituted amino, and (ii) when q is 0, R3 is cyano and X is S then R4 is other than amino] or a salt, prodrug or solvate thereof, for the manufacture of a medicament to inhibit and/or reverse and/or alleviate symptoms of angiogenesis and/or any disease state associated with angiogenesis. The invention also relates to use of compds. I as medicaments and also to novel compds. I. The invention further provides pharmaceutical compns. of compds. I and processes for the synthesis of compds. I. A subset of the compds. I, e.g. 3-cyano-5-phenylsulfanyl-1H-indole, 3-cyano-5-phenoxy-1H-indole, 3-cyano-5-(4-hydroxyphenoxy)-1H-indole, 2-cyano-5-benzyloxy-1H-indole, 1-methyl-3-cyano-5-(4-hydroxy-3,5-dimethoxyphenoxy)-1H-indole, and 1-methyl-3-cyano-5-(4-phosphonoxy-3,5-dimethoxyphenoxy)-1H-indole, are also claimed.

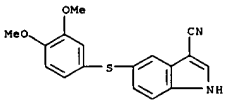
ACCESSION NUMBER: 2003:796476 HCAPLUS
DOCUMENT NUMBER: 139:307677
TITLE: Preparation of indole derivatives for use as angiogenesis inhibitors
INVENTOR(S): Arnould, Jean Claude
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082271	A2	20031009	WO 2003-GB1405	20030331
WO 2003082271	A3	20040325		

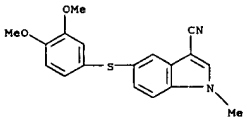
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

L8 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1515716 A2 20050323 EP 2003-710036 20030331
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
US 2005159474 A1 20050721 US 2003-509633 20030331
JP 2005532280 T2 20051027 JP 2003-579809 20030331
PRIORITY APPLN. INFO.: EP 2002-290822 A 20020403
WO 2003-GB1405 W 20030331

OTHER SOURCE(S): MARPAT 139:307677
IT **611228-57-0P 611228-58-1P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of indole derivs. for medicament to inhibit and/or reverse and/or alleviate symptoms of angiogenesis and/or any disease state associated with angiogenesis)
RN 611228-57-0 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-[(3,4-dimethoxyphenyl)thio]- (9CI) (CA INDEX NAME)

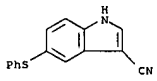


RN 611228-58-1 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-[(3,4-dimethoxyphenyl)thio]-1-methyl- (9CI) (CA INDEX NAME)

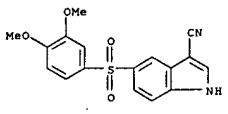


IT **611228-45-6P 611228-59-2P 611228-60-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. for medicament to inhibit and/or reverse and/or alleviate symptoms of angiogenesis and/or any disease state associated with angiogenesis)

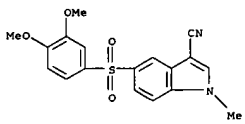
L8 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 611228-45-6 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-(phenylthio)- (9CI) (CA INDEX NAME)



RN 611228-59-2 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-[(3,4-dimethoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 611228-60-5 HCAPLUS
CN 1H-Indole-3-carbonitrile, 5-[(3,4-dimethoxyphenyl)sulfonyl]-1-methyl- (9CI) (CA INDEX NAME)



L8 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
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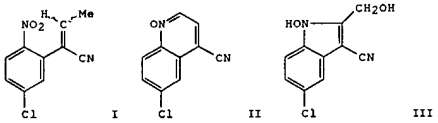
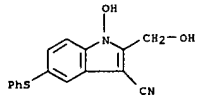


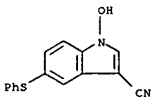
AB Nitriles and esters of 2-(o-nitroaryl)crotonic acids are converted under basic conditions into substituted quinoline N-oxides, N-hydroxyindoles and N-hydroxy-2-hydroxymethylindoles. Factors governing the reaction course and mechanistic pathways are discussed. E.g., treating I with NaOH/MeOH gave 77% quinoline N-oxide II. Treatment of I with K2CO3/MeOH gave 67% indole III.

ACCESSION NUMBER: 1994:106724 HCAPLUS
DOCUMENT NUMBER: 120:106724
TITLE: Reactions of organic anions. 197. Transformations of o-nitroarylallyl carbanions. Synthesis of quinoline N-oxides and N-hydroxyindoles
AUTHOR(S): Wrobel, Zbigniew; Makosza, Mieczyslaw
CORPORATE SOURCE: Inst. Org. Chem., Pol. Acad. Sci., Warsaw, 01-224, Pol.
SOURCE: Tetrahedron (1993), 49(24), 5315-26
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:106724
IT **152562-39-5P 152562-46-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 152562-39-5 HCAPLUS
CN 1H-Indole-3-carbonitrile, 1-hydroxy-2-(hydroxymethyl)-5-(phenylthio)- (9CI) (CA INDEX NAME)



RN 152562-46-4 HCAPLUS
CN 1H-Indole-3-carbonitrile, 1-hydroxy-5-(phenylthio)- (9CI) (CA INDEX NAME)

L8 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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